Welcome to STN International! Enter x:x

LOGINID:ssspta1611sxp

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	May 12	EXTEND option available in structure searching
NEWS 4	May 12	Polymer links for the POLYLINK command completed in REGISTRY
NEWS 5	May 27	New UPM (Update Code Maximum) field for more efficient patent SDIs in CAplus
NEWS 6	May 27	CAplus super roles and document types searchable in REGISTRY
NEWS 7	Jun 28	Additional enzyme-catalyzed reactions added to CASREACT
NEWS 8	Jun 28	ANTE, AQUALINE, BIOENG, CIVILENG, ENVIROENG, MECHENG, and WATER from CSA now available on STN(R)
NEWS 9	Jul 12	BEILSTEIN enhanced with new display and select options, resulting in a closer connection to BABS
NEWS 10	Jul 30	BEILSTEIN on STN workshop to be held August 24 in conjunction with the 228th ACS National Meeting
NEWS 11	AUG 02	IFIPAT/IFIUDB/IFICDB reloaded with new search and display fields
NEWS 12	AUG 02	CAplus and CA patent records enhanced with European and Japan Patent Office Classifications
NEWS 13	AUG 02	STN User Update to be held August 22 in conjunction with the 228th ACS National Meeting
NEWS 14	AUG 02	The Analysis Edition of STN Express with Discover! (Version 7.01 for Windows) now available
NEWS 15	AUG 04	Pricing for the Save Answers for SciFinder Wizard within STN Express with Discover! will change September 1, 2004

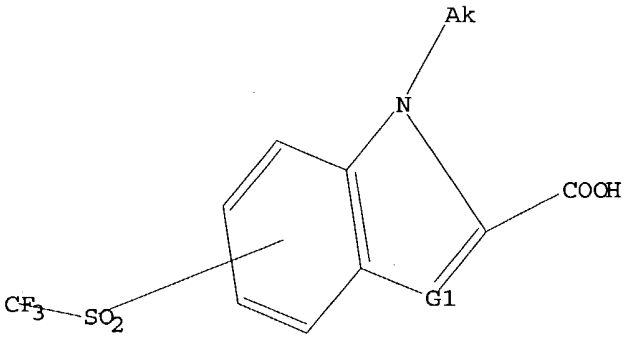
NEWS EXPRESS	JULY 30 CURRENT WINDOWS VERSION IS V7.01, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

* * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 09:22:08 ON 18 AUG 2004

```
=> file reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.21       0.21

FILE 'REGISTRY' ENTERED AT 09:22:17 ON 18 AUG 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   17 AUG 2004  HIGHEST RN 727974-89-2
DICTIONARY FILE UPDATES:  17 AUG 2004  HIGHEST RN 727974-89-2

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading c:\program files\stnexp\queries\10618083.2

L1      STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1              STR
```




```
G1 N,CH


Structure attributes must be viewed using STN Express query preparation.


=> s l1 sss full
FULL SEARCH INITIATED 09:22:42 FILE 'REGISTRY'
```

FULL SCREEN SEARCH COMPLETED - 84 TO ITERATE

100.0% PROCESSED 84 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

L2 1 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	155.42	155.63

FILE 'CAPLUS' ENTERED AT 09:22:48 ON 18 AUG 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 18 Aug 2004 VOL 141 ISS 8
FILE LAST UPDATED: 17 Aug 2004 (20040817/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l2
L3 1 L2

=> d l3 fbib hitstr abs total

L3 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:167962 CAPLUS
DN 134:222529
TI Preparation of aromatic trifluoromethylsulfonyl and trifluoromethylsulfonamido compounds as phosphate mimics and phosphatase inhibitors and methods of treatment
IN Huang, Ping; Wei, Chung Chen; Tang, Peng Cho; Liang, Chris; Ramphal, John; Jallal, Bahija; Blitz, John; Li, Sharon; Mattson, Matthew Neil; Mcahon, Gerald; Koenig, Marcel
PA Sugen, Inc., USA; et al.
SO PCT Int. Appl., 262 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001016097	A1	20010308	WO 2000-US23293	20000825

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

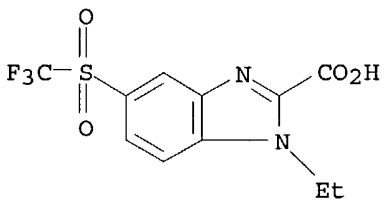
			US 1999-150970P	P	19990827
			US 1999-165365P	P	19991112
EP 1212296	A1	20020612	EP 2000-961360		20000825
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL					
			US 1999-150970P	P	19990827
			US 1999-165365P	P	19991112
			WO 2000-US23293	W	20000825
JP 2003508382	T2	20030304	JP 2001-519667		20000825
			US 1999-150970P	P	19990827
			US 1999-165365P	P	19991112
			WO 2000-US23293	W	20000825
US 6596772	B1	20030722	US 2000-645879		20000825
			US 1999-150970P	P	19990827
			US 1999-165365P	P	19991112
NZ 517426	A	20040430	NZ 2000-517426		20000825
			US 1999-150970P	P	19990827
			US 1999-165365P	P	19991112
			WO 2000-US23293	W	20000825
ZA 2002001609	A	20030526	ZA 2002-1609		20020226
			US 1999-150970P	P	19990827
US 2004138255	A1	20040715	US 2003-618083		20030714
			US 1999-150970P	P	19990827
			US 1999-165365P	P	19991112
			US 2000-645879	A3	20000825

OS MARPAT 134:222529

IT **329317-68-2P**, 1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazole-2-carboxylic acid
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of aromatic trifluoromethylsulfonyl and trifluoromethylsulfonamido compds. as phosphate mimics and phosphatase inhibitors)

RN 329317-68-2 CAPLUS

CN 1H-Benzimidazole-2-carboxylic acid, 1-ethyl-5-[(trifluoromethyl)sulfonyl]- (9CI) (CA INDEX NAME)



GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to trifluoromethyl sulfonyl and trifluoromethyl sulfonamido compds. and their physiol. acceptable salts and prodrugs. In particular, compds. I, II, and III are claimed [wherein: Q = CF3SO2, CF3SO2NR3, CF3SO2R4, or CF3SO2N(R3)R4; R1 = H, alkyl, haloalkyl, cyano, CO2H or derivs., halo, OH or derivs., NH2 or derivs., etc.; R2 = H, groups similar to R1; R3 = H, (un)substituted alkoxy, acyl, or alkyl; R4 = (un)substituted CH2; n = 0-3; B = atoms to complete (un)substituted fused aryl, carbocyclyl, heteroaryl, or heterocyclyl ring; A1 = (un)substituted and/or heteroatom-replaced linkage of 2-8 atoms length; A2 = similar linkage of 0-6 atoms]. These compds. are expected to modulate the activity of protein tyrosine enzymes which are related to cellular signal transduction, in particular, protein tyrosine phosphatase (PTP), and therefore are expected to be useful in the prevention and treatment of disorders associated with abnormal protein tyrosine enzyme related cellular signal transduction such as cancer, diabetes, immuno-modulation, neurol. degenerative diseases, osteoporosis and infectious diseases. The invention also relates to the use of compds. containing fluoromethyl sulfonyl groups as phosphate mimics. These mimics may be used to inhibit, regulate or modulate the activity of a phosphate binding protein in a cell. Over 100 compds. were prepared, and most were assayed against selected PTPs. For example, etherification of Me 4-(2-hydroxyethoxy)benzoic acid Me ester with 2-nitro-4-(trifluoromethylsulfonyl)chlorobenzene using NaH, and hydrolysis with HCl in aqueous THF-EtOH, gave title compound IV. This compound had IC50 values as follows (μM): PTP 1B = 1.5, PTP MEG2 = 1.5, PTP α = 22.2.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

Welcome to STN International! Enter x:x

LOGINID:ssspta1611sxp

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	May 12	EXTEND option available in structure searching
NEWS 4	May 12	Polymer links for the POLYLINK command completed in REGISTRY
NEWS 5	May 27	New UPM (Update Code Maximum) field for more efficient patent SDIs in CAplus
NEWS 6	May 27	CAplus super roles and document types searchable in REGISTRY
NEWS 7	Jun 28	Additional enzyme-catalyzed reactions added to CASREACT
NEWS 8	Jun 28	ANTE, AQUALINE, BIOENG, CIVILENG, ENVIROENG, MECHENG, and WATER from CSA now available on STN(R)
NEWS 9	Jul 12	BEILSTEIN enhanced with new display and select options, resulting in a closer connection to BABS
NEWS 10	Jul 30	BEILSTEIN on STN workshop to be held August 24 in conjunction with the 228th ACS National Meeting
NEWS 11	AUG 02	IFIPAT/IFIUDB/IFICDB reloaded with new search and display fields
NEWS 12	AUG 02	CAplus and CA patent records enhanced with European and Japan Patent Office Classifications
NEWS 13	AUG 02	STN User Update to be held August 22 in conjunction with the 228th ACS National Meeting
NEWS 14	AUG 02	The Analysis Edition of STN Express with Discover! (Version 7.01 for Windows) now available
NEWS 15	AUG 04	Pricing for the Save Answers for SciFinder Wizard within STN Express with Discover! will change September 1, 2004

NEWS EXPRESS	JULY 30 CURRENT WINDOWS VERSION IS V7.01, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

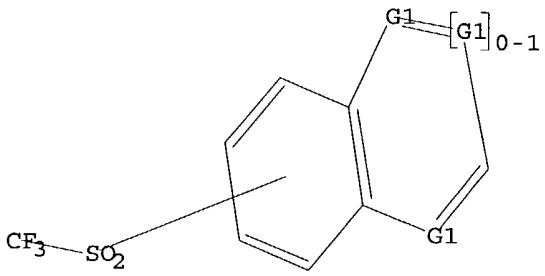
Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

* * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 09:52:52 ON 18 AUG 2004

```
=> file reg
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                         0.21       0.21

FILE 'REGISTRY' ENTERED AT 09:53:02 ON 18 AUG 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   17 AUG 2004  HIGHEST RN 727974-89-2
DICTIONARY FILE UPDATES:  17 AUG 2004  HIGHEST RN 727974-89-2

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading c:\program files\stnexp\queries\10618083.6

L1      STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1                STR
```




```
G1 N,CH


Structure attributes must be viewed using STN Express query preparation.


=> s l1 sss full
FULL SEARCH INITIATED 09:53:23 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -  57199 TO ITERATE
```

100.0% PROCESSED 57199 ITERATIONS 196 ANSWERS
SEARCH TIME: 00.00.01

L2 196 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	155.42	155.63

FILE 'CAPLUS' ENTERED AT 09:53:28 ON 18 AUG 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 18 Aug 2004 VOL 141 ISS 8
FILE LAST UPDATED: 17 Aug 2004 (20040817/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l2
L3 93 L2

=> d l3 fbib hitstr abs total

L3 ANSWER 1 OF 93 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2004:197482 CAPLUS
DN 141:83994
TI Studies on herbicidal activity of 5-fluoro, 5-difluoro and 5-trifluoromethylsulfonyl 1-methylbenzoimidazole derivatives
AU Krawczyk, Maria; Ziminska, Zofia; Ochal, Zbigniew; Mizerski, Arkadiusz; Kalhorn, Dorota
CS Institute of Industrial Organic Chemistry, Warsaw, 03-236, Pol.
SO Polish Journal of Applied Chemistry (2003), 47(3), 155-159
CODEN: PJACE2; ISSN: 0867-8928
PB IChF PAN
DT Journal
LA English
IT **72851-07-1**, IPO 15012 **638204-92-9**, IPO 15013 **638204-93-0**, IPO 15026 **638204-95-2**, IPO 15024 **714963-07-2**, IPO 15014
RL: AGR (Agricultural use); BSU (Biological study, unclassified); BIOL (Biological study); USES (Uses)
(herbicidal activity of 5-fluoro, 5-difluoro and 5-trifluoromethylsulfonyl 1-methylbenzoimidazole derivs.)
RN 72851-07-1 CAPLUS

4-chlorophenyl fluoromethyl sulfides, by oxidation into sulfones, nitration of benzene ring, SNAr reaction with ammonia and reduction of nitro group. Fluoromethyl sulfides were obtained in the several step synthesis, starting from 4-chlorothiophenol.

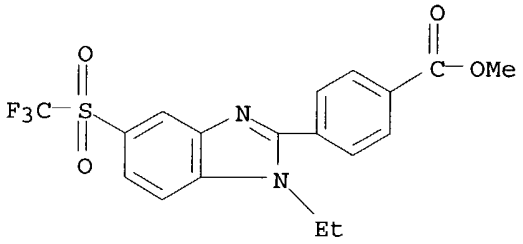
L3 ANSWER 18 OF 93 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:167962 CAPLUS
DN 134:222529
TI Preparation of aromatic trifluoromethylsulfonyl and trifluoromethylsulfonamido compounds as phosphate mimics and phosphatase inhibitors and methods of treatment
IN Huang, Ping; Wei, Chung Chen; Tang, Peng Cho; Liang, Chris; Ramphal, John; Jallal, Bahija; Blitz, John; Li, Sharon; Mattson, Matthew Neil; McMahon, Gerald; Koenig, Marcel
PA Sugen, Inc., USA; et al.
SO PCT Int. Appl., 262 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
PI WO 2001016097	A1	20010308	WO 2000-US23293		20000825
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
			US 1999-150970P	P	19990827
			US 1999-165365P	P	19991112
EP 1212296	A1	20020612	EP 2000-961360		20000825
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL					
			US 1999-150970P	P	19990827
			US 1999-165365P	P	19991112
			WO 2000-US23293	W	20000825
JP 2003508382	T2	20030304	JP 2001-519667		20000825
			US 1999-150970P	P	19990827
			US 1999-165365P	P	19991112
			WO 2000-US23293	W	20000825
US 6596772	B1	20030722	US 2000-645879		20000825
			US 1999-150970P	P	19990827
			US 1999-165365P	P	19991112
NZ 517426	A	20040430	NZ 2000-517426		20000825
			US 1999-150970P	P	19990827
			US 1999-165365P	P	19991112
			WO 2000-US23293	W	20000825
ZA 2002001609	A	20030526	ZA 2002-1609		20020226
			US 1999-150970P	P	19990827
US 2004138255	A1	20040715	US 2003-618083		20030714
			US 1999-150970P	P	19990827
			US 1999-165365P	P	19991112
			US 2000-645879	A3	20000825

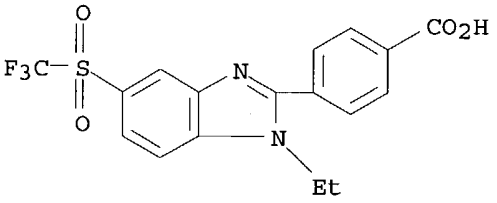
OS MARPAT 134:222529
IT **329317-61-5P**, 4-(1-Ethyl-5-trifluoromethanesulfonyl-1H-

benzimidazol-2-yl)benzoic acid methyl ester **329317-62-6P**, 4-(1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazol-2-yl)benzoic acid
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of aromatic trifluoromethylsulfonyl and trifluoromethylsulfonamido compds. as phosphate mimics and phosphatase inhibitors)

RN 329317-61-5 CAPLUS
CN Benzoic acid, 4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)

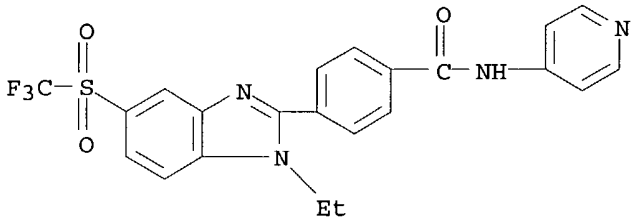


RN 329317-62-6 CAPLUS
CN Benzoic acid, 4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

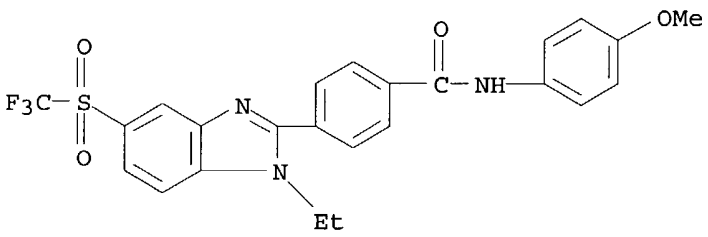


IT **329317-63-7P**, 4-(1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazol-2-yl)-N-pyridin-4-ylbenzamide **329317-64-8P**, 4-(1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazol-2-yl)-N-(4-methoxyphenyl)benzamide **329317-65-9P**, 3-[4-(1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazol-2-yl)benzoylamino]benzoic acid ethyl ester **329317-66-0P**, 4-(1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazol-2-yl)-N-(2-pyrrolidin-1-ylethyl)benzamide **329317-67-1P**, N-Ethyl-4-(1-ethyl-5-trifluoromethanesulfonyl-1H-benzimidazol-2-yl)benzamide **329317-68-2P**, 1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazole-2-carboxylic acid
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of aromatic trifluoromethylsulfonyl and trifluoromethylsulfonamido compds. as phosphate mimics and phosphatase inhibitors)

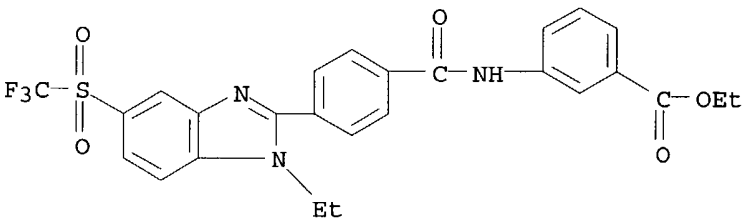
RN 329317-63-7 CAPLUS
CN Benzamide, 4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]-N-4-pyridinyl- (9CI) (CA INDEX NAME)



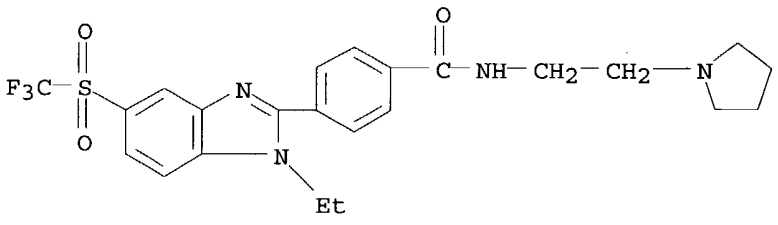
RN 329317-64-8 CAPLUS
CN Benzamide, 4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]-N-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



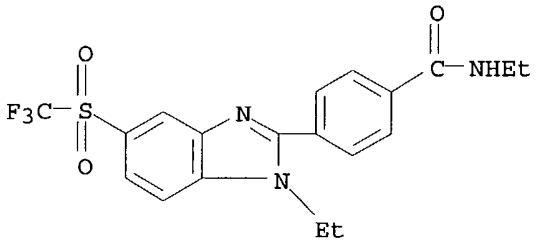
RN 329317-65-9 CAPLUS
CN Benzoic acid, 3-[[4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]benzoyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



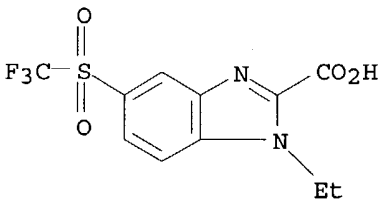
RN 329317-66-0 CAPLUS
CN Benzamide, 4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]-N-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)



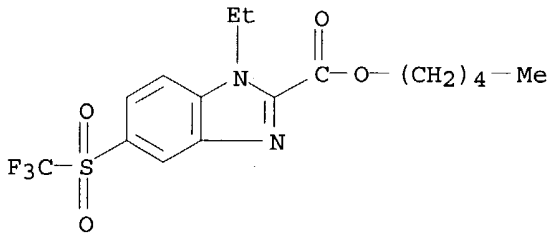
RN 329317-67-1 CAPLUS
CN Benzamide, N-ethyl-4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



RN 329317-68-2 CAPLUS
CN 1H-Benzimidazole-2-carboxylic acid, 1-ethyl-5-[(trifluoromethyl)sulfonyl]- (9CI) (CA INDEX NAME)



IT **329318-33-4P**, 1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazole-2-carboxylic acid pentyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of aromatic trifluoromethylsulfonyl and trifluoromethylsulfonamido compds. as phosphate mimics and phosphatase inhibitors)
RN 329318-33-4 CAPLUS
CN 1H-Benzimidazole-2-carboxylic acid, 1-ethyl-5-[(trifluoromethyl)sulfonyl]-, pentyl ester (9CI) (CA INDEX NAME)



GI

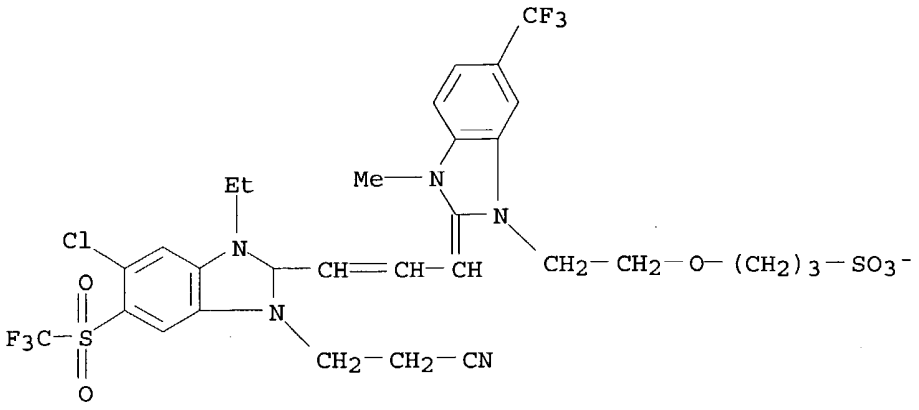
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to trifluoromethyl sulfonyl and trifluoromethyl sulfonamido compds. and their physiol. acceptable salts and prodrugs. In

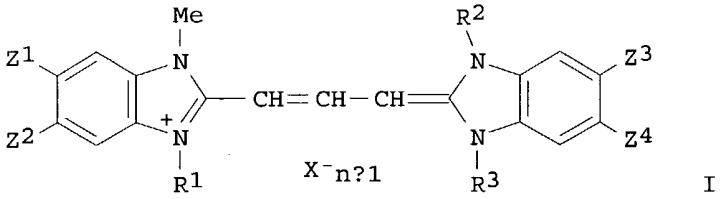
particular, compds. I, II, and III are claimed [wherein: Q = CF3SO2, CF3SO2NR3, CF3SO2R4, or CF3SO2N(R3)R4; R1 = H, alkyl, haloalkyl, cyano, CO2H or derivs., halo, OH or derivs., NH2 or derivs., etc.; R2 = H, groups similar to R1; R3 = H, (un)substituted alkoxy, acyl, or alkyl; R4 = (un)substituted CH2; n = 0-3; B = atoms to complete (un)substituted fused aryl, carbocyclyl, heteroaryl, or heterocyclyl ring; A1 = (un)substituted and/or heteroatom-replaced linkage of 2-8 atoms length; A2 = similar linkage of 0-6 atoms]. These compds. are expected to modulate the activity of protein tyrosine enzymes which are related to cellular signal transduction, in particular, protein tyrosine phosphatase (PTP), and therefore are expected to be useful in the prevention and treatment of disorders associated with abnormal protein tyrosine enzyme related cellular signal transduction such as cancer, diabetes, immuno-modulation, neurol. degenerative diseases, osteoporosis and infectious diseases. The invention also relates to the use of compds. containing fluoromethyl sulfonyl groups as phosphate mimics. These mimics may be used to inhibit, regulate or modulate the activity of a phosphate binding protein in a cell. Over 100 compds. were prepared, and most were assayed against selected PTPs. For example, etherification of Me 4-(2-hydroxyethoxy)benzoic acid Me ester with 2-nitro-4-(trifluoromethylsulfonyl)chlorobenzene using NaH, and hydrolysis with HCl in aqueous THF-EtOH, gave title compound IV. This compound had IC50 values as follows (μM): PTP 1B = 1.5, PTP MEG2 = 1.5, PTP α = 22.2.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 19 OF 93 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:90028 CAPLUS
DN 132:258031
TI A method of chemical sensitization of photographic emulsions with AgCl grains
AU Sechkarev, B. A.; Ryabova, M. I.
CS Kemerovskii Gos. Univ., Kemerovo, Russia
SO Zhurnal Nauchnoi i Prikladnoi Fotografii (1999), 44(6), 30-33
CODEN: ZNPFEK; ISSN: 0869-6144
PB Nauka
DT Journal
LA Russian
IT **634-14-0**, 1,1',3,3'-Tetraethyl-5,5'-bis(trifluoromethylsulfonyl)imidocarbocyanine iodide
RL: NUU (Other use, unclassified); USES (Uses)
(adsorption of reference dye on chemical sensitized photog. emulsion cubic AgCl microcrystals)
RN 634-14-0 CAPLUS
CN 1H-Benzimidazolium, 2-[3-[1,3-diethyl-1,3-dihydro-5-[(trifluoromethyl)sulfonyl]-2H-benzimidazol-2-ylidene]-1-propenyl]-1,3-diethyl-5-[(trifluoromethyl)sulfonyl]-, iodide (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
GI



AB The title material contains ≥1 spectral sensitizing dye I [R1, R3 = substituted lower alkyl, 1 of the alkyl groups is substituted for hydrophilic groups and the other is substituted for electron-attracting groups; R2 = (substituted) C≥2 alkyl; Z1-4 = H or substituent, the sum of the σp value of each group of Z1-4 is ≥0.9, ≥1 of Z1-4 is a group linking to the benzimidazole ring via sulfonyl group; X = ion required to neutralize the charge in the mol.; n = 1 or 2, when the dye forms an inner salt, n = 1]. The material is processed by using an automatic processor of which the total processing time is 5-30 s. The material is processed with a hydroxybenzene-free developing solution containing a developing agent Q1C(:Y)CR15:CR16Q [R15, R16 = OH, amino, acylamino, alkylsulfonylamino, arylsulfonylamino, alkoxycarbonylamino, mercapto, alkylthio; Q1-2 = OH, carboxy, alkoxy, hydroxyalkyl, carboxyalkyl, sulfo, sulfoalkyl, amino, aminoalkyl, mercapto, alkyl, aryl, Q1 and Q2 may link to form a 5 to 8-membered ring along with C atoms; Y = O or NR17 (R17 = H, OH, alkyl, acyl, hydroxyalkyl, sulfoalkyl, carboxyalkyl)]. A photographing method is also claimed, in which the material sandwiched with high-sensitive intensifying screens is exposed to x-ray. The material, useful as a medical x-ray film, shows high sensitivity, low residual color stain, good storage stability and resistance to safelight.

L3 ANSWER 33 OF 93 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1997:134849 CAPLUS
DN 126:157509

TI Preparation of substituted (sulfinic acid, sulfonic acid, sulfonylamino or sulfinylamino) N-[(aminoiminomethyl)phenylalkyl]azaheterocyclylamide compounds as Factor Xa inhibitors

IN Ewing, William R.; Becker, Michael R.; Pauls, Henry W.; Cheney, Daniel L.; Mason, Jonathan Stephen; Spada, Alfred P.; Choi-Sledeski, Yong Mi

PA Rhone-Poulenc Rorer Pharmaceuticals Inc., USA

SO PCT Int. Appl., 272 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
PI	WO 9640679	A1	19961219	WO 1996-US9816		19960607
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI					
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN					
				US 1995-481024	A	19950607
	US 5612353	A	19970318	US 1995-481024		19950607
	CA 2223403	AA	19961219	CA 1996-2223403		19960607
	CA 2223403	C	20020423			
				US 1995-481024	A	19950607
	AU 9661669	A1	19961230	AU 1996-61669		19960607
	AU 714319	B2	20000106			
				US 1995-481024	A	19950607
				WO 1996-US9816	W	19960607
	EP 853618	A1	19980722	EP 1996-919298		19960607
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LV, FI					
				US 1995-481024	A	19950607
				WO 1996-US9816	W	19960607
	CN 1190395	A	19980812	CN 1996-194489		19960607
				US 1995-481024	A	19950607
	JP 11507368	T2	19990629	JP 1996-502029		19960607
				US 1995-481024	A	19950607
				WO 1996-US9816	W	19960607
	BR 9608405	A	19990824	BR 1996-8405		19960607
				US 1995-481024	A	19950607
				WO 1996-US9816	W	19960607
	AP 799	A	20000119	AP 1997-1144		19960607
				US 1995-481024	A	19950607
				WO 1996-US9816	W	19960607
	NO 9705762	A	19980206	NO 1997-5762		19971208
				US 1995-481024	A	19950607
				WO 1996-US9816	W	19960607
	BG 63628	B1	20020731	BG 1998-102162		19980106
				US 1995-481024	A	19950607
				WO 1996-US9816	W	19960607
	US 6034093	A	20000307	US 1998-130336		19980806
				US 1995-481024	A2	19950607
				WO 1996-US9816	A2	19960607
				US 1996-761414	A2	19961206
				US 1997-976034	A2	19971121
				WO 1997-US22414	A2	19971201

PATENT FAMILY INFORMATION:

FAN 1998:192127

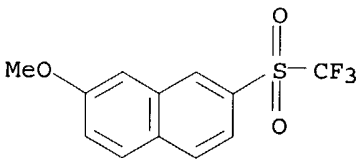
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5731315	A	19980324	US 1996-761414	19961206
				US 1995-481024 A2	19950607
	US 5612353	A	19970318	US 1995-481024	19950607
	CA 2223403	AA	19961219	CA 1996-2223403	19960607
	CA 2223403	C	20020423		
				US 1995-481024 A	19950607
	CN 1190395	A	19980812	CN 1996-194489	19960607
				US 1995-481024 A	19950607
	CA 2245699	AA	19980611	CA 1997-2245699	19971201
				US 1996-761414 A	19961206
	WO 9824784	A1	19980611	WO 1997-US22414	19971201
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
				US 1996-761414 A2	19961206
	AU 9860121	A1	19980629	AU 1998-60121	19971201
	AU 727810	B2	20001221		
				US 1996-761414 A	19961206
				WO 1997-US22414 W	19971201
	EP 894088	A1	19990203	EP 1997-954779	19971201
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
				US 1996-761414 A	19961206
				WO 1997-US22414 W	19971201
	CN 1213370	A	19990407	CN 1997-192888	19971201
	CN 1093856	B	20021106		
				US 1996-761414 A	19961206
	BR 9707489	A	19990727	BR 1997-7489	19971201
				US 1996-761414 A	19961206
				WO 1997-US22414 W	19971201
	AP 800	A	20000119	AP 1998-1305	19971201
	W: GH, KE, LS, MW, SD, SZ, UG, ZW				
				US 1996-761414 A	19961206
	JP 2000505815	T2	20000516	JP 1998-525861	19971201
				US 1996-761414 A	19961206
				WO 1997-US22414 W	19971201
	ZA 9710968	A	19980722	ZA 1997-10968	19971205
				US 1996-761414 A	19961206
	NO 9803603	A	19981005	NO 1998-3603	19980805
				US 1996-761414 A	19961206
				WO 1997-US22414 W	19971201
	US 6034093	A	20000307	US 1998-130336	19980806
				US 1995-481024 A2	19950607
				WO 1996-US9816 A2	19960607
				US 1996-761414 A2	19961206
				US 1997-976034 A2	19971121
				WO 1997-US22414 A2	19971201
	CN 1418882	A	20030521	CN 2002-103157	20020201
				US 1996-761414 A	19961206
FAN	2000:157715				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI US 6034093 A 20000307 US 1998-130336 19980806
US 1995-481024 A2 19950607
WO 1996-US9816 A2 19960607
US 1996-761414 A2 19961206
US 1997-976034 A2 19971121
WO 1997-US22414 A2 19971201
US 5612353 A 19970318 US 1995-481024 19950607
WO 9640679 A1 19961219 WO 1996-US9816 19960607
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN
US 1995-481024 A 19950607
US 5731315 A 19980324 US 1996-761414 19961206
US 1995-481024 A2 19950607
US 5958918 A 19990928 US 1997-976034 19971121
US 1995-481024 A2 19950607
WO 1996-US1816 A1 19960607
WO 9824784 A1 19980611 WO 1997-US22414 19971201
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
US 1996-761414 A2 19961206

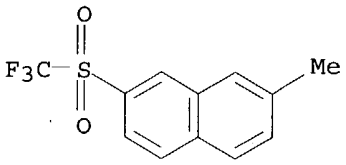
OS MARPAT 126:157509

IT **186550-15-2P 186550-83-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted (sulfinic acid, sulfonic acid, sulfonylamino or sulfinylamino) N-[(aminoiminomethyl)phenylalkyl]azaheterocyclylamide compds. as Factor Xa inhibitors)

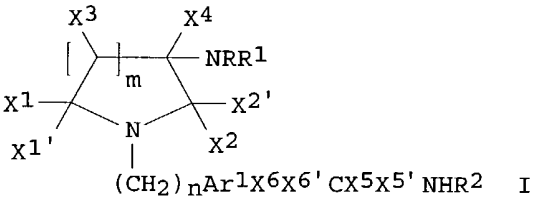
RN 186550-15-2 CAPLUS
CN Naphthalene, 2-methoxy-7-[(trifluoromethyl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 186550-83-4 CAPLUS
CN Naphthalene, 2-methyl-7-[(trifluoromethyl)sulfonyl]- (9CI) (CA INDEX NAME)



GI



AB About 165 title compds. I [R = H, alkyl, aralkyl, hydroxyalkyl; R1 = H, R3S(O)p, R3R4NS(O)p; R2 = H, alkyl,aralkyl; R3 = alkyl, cycloalkyl, heterocyclyl, aryl, heteroaryl, aralkyl; RR3 = 5-7 membered ring; R4 = alkyl, cycloalkyl, aryl, heteroaryl; R3R4N = 4-7 membered heterocyclyl; X1, X1' = H, alkyl, aryl, aralkyl, etc.; X1X1' = oxo; X2, X2' = H; X2X2' = O; X4 = H, alkyl, aralkyl, hydroxyalkyl; X5, X5' = H; X5X5' = NR5; R5 = H, R6O2C, R6O, cyano, R6CO, alkyl, NO2, etc.; X6, X6' = H, R7R8N, R9O, R7R8NCO, R7R8NSO2, etc.; R7, R8 = H, alkyl; R9 = H, alkyl, acyl, etc.; m = 0-3; n = 1-3; p = 1, 2] were prepared I are inhibitors of the activity of Factor Xa. E.g., 7-hydroxynaphthalene-2-sulfonic acid Na salt was methylated with di-Me sulfate/NaOH, treated with phosphorus oxychloride/PCl5, and reacted with 3-(3S-amino-2-oxopyrrolidin-1-ylmethyl)benzonitrile hydrochloride to give 7-hydroxynaphthalene-2-sulfonic acid {1-[3-(aminoiminomethyl)benzyl]-2-oxopyrrolidin-3(S)-yl}amide trifluoroacetate. In a test of Factor Xa inhibition, the last had a Ki value of 35 nM.

L3 ANSWER 34 OF 93 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1997:56113 CAPLUS
DN 126:84586
TI Agents for the inhibition of parasitic protozoa
IN Asmann, Lutz; Baasner, Bernd; Haberkorn, Axel; Lieb, Folker; Lunkenheimer, Winfried; Lui, Norbert
PA Bayer A.-G., Germany
SO Ger. Offen., 30 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 1

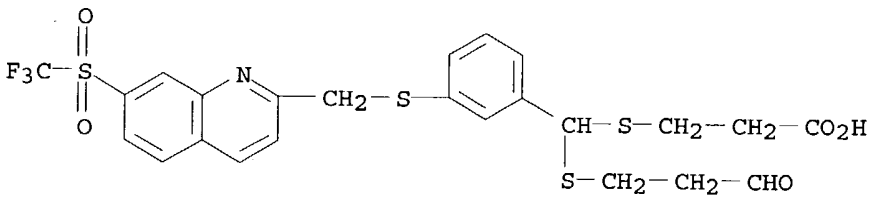
	PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
PI	DE 19519821	A1	19961205	DE 1995-19519821		19950531
	TW 403651	B	20000901	TW 1996-85103825		19960402
				DE 1995-19519821	A	19950531
	CA 2222517	AA	19961205	CA 1996-2222517		19960520
				DE 1995-19519821	A	19950531
	WO 9638140	A1	19961205	WO 1996-EP2164		19960520

W: AU, BB, BG, BR, BY, CA, CN, CZ, HU, JP, KR, KZ, LK, MX, NO, NZ,

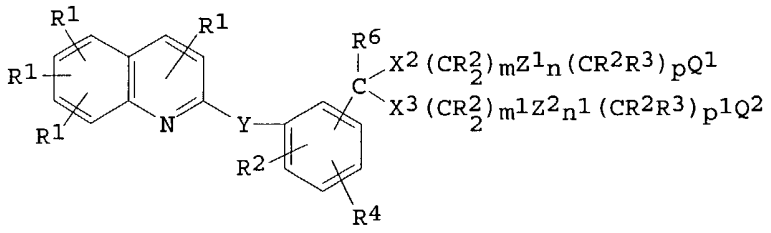
L3 ANSWER 52 OF 93 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1988:437743 CAPLUS
DN 109:37743
TI Preparation of 2-substituted quinoline dioic acids as leukotriene antagonists and inhibitors of their biosynthesis
IN Young, Robert N.; Zamboni, Robert; Leger, Serge
PA Merck Frosst Canada, Inc., Can.
SO Eur. Pat. Appl., 44 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 233763	A2	19870826	EP 1987-301256	19870213
	EP 233763	A3	19881019		
	EP 233763	B1	19910130		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
				CA 1986-501932	19860214
	AU 8768717	A1	19870820	AU 1987-68717	19870212
	AU 595286	B2	19900329		
				CA 1986-501932	19860214
	DK 8700722	A	19870815	DK 1987-722	19870213
	DK 168534	B1	19940418		
				CA 1986-501932	19860214
	ZA 8701064	A	19871028	ZA 1987-1064	19870213
				CA 1986-501932	19860214
	AT 60584	E	19910215	AT 1987-301256	19870213
				CA 1986-501932	19860214
				EP 1987-301256	19870213
	IL 81569	A1	19911121	IL 1987-81569	19870213
				CA 1986-501932	19860214
	ES 2031498	T3	19921216	ES 1987-301256	19870213
				CA 1986-501932	19860214
	JP 62258363	A2	19871110	JP 1987-32282	19870214
	JP 06086432	B4	19941102		
				CA 1986-501932	19860214

IT **115104-15-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as leukotriene antagonist)
RN 115104-15-9 CAPLUS
CN Propanoic acid, 3-[[[(3-oxopropyl)thio][3-[[[7-[(trifluoromethyl)sulfonyl]-2-quinolinyl]methyl]thio]phenyl]methyl]thio]- (9CI) (CA INDEX NAME)



GI



I

AB Title compds. I [R1 = halo, C1-8 alkyl, C2-8 alkenyl, C2-8 alkynyl, F3C, R2O, R2S, HOC, cyano, O2N, (un)substituted Ph, etc.; R2 = H, C1-8 alkyl, C2-8 alkenyl, C2-8 alkynyl, F3C, (un)substituted Ph, etc.; R3 = H, halo, NO2, cyano, OR2, SR2, NR22, C1-8 alkyl; CR2R3 may be the radical of a naturally-occurring amino acid; Y = R2C:CR2, C.tplbond.C, CR22X1, CO, R2N, X1CR22, etc.; X1 = O, S, SO, SO2, C(R2)2; X2, X3 = O, S, SO, SO2; Z1, Z2 = CONR2; Q1, Q2 = R2O2C, cyano, tetrazole, HOC, HOCH2, HOCH2CO, R5O2C, R10 2NCO, R11O2SNHCO; R5 = R7(CH2)sCR62(CH2)s; R6 = H, C1-4 alkyl; R7 = N-, O-, S-heterocyclyl, etc.; R10 = H, C1-6 alkyl, R11CO; R11 = H, C1-8 alkyl; C2-8 alkenyl, F3C, (un)substituted Ph, etc.; R4 = H, halo, O2N, cyano, etc.; m, m1 = 0-8; n, n1 = 0 or 1; p, p1 = 0-8; s = 0-3] and their salts, useful as leukotriene antagonists (no data), were prepared 3-HCOC6H4CHO, HSCH2CH2CO2Me and Me3SiCl were reacted at room temperature to give 3-HCOC6H4CH(SCH2CH2CO2Me)2, which with 7-chloroquinaldine were heated in Ac2O to give di-Me 5-[3-[2-(7-chloroquinolin-2-yl)ethenyl]phenyl]-4,6-dithianonanedioate which in MeOCH2CH2OMe was treated with LiOH to give 5-[3-[2-(7-chloroquinolin-2-yl)ethenyl]phenyl]-4,6-dithianonanedioic acid.

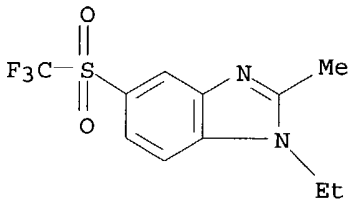
L3 ANSWER 53 OF 93 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1988:195661 CAPLUS
DN 108:195661
TI Mechanism of the spectral sensitization by cyanine dyes of the electron transfer in polymeric donor-acceptor systems
AU Grishina, A. D.; Vannikov, A. V.; Gol'dman, Z. P.; Tedoradze, M. G.; Degutis, Yu. A.
CS Inst. Elektrokhim., Moscow, USSR
SO Khimicheskaya Fizika (1987), 6(7), 960-8
CODEN: KHFID9; ISSN: 0207-401X
DT Journal
LA Russian
IT **634-14-0**
RL: USES (Uses)
(photosensitization of polymeric donor-acceptor systems by, to visible light, ESR study of mechanism of)
RN 634-14-0 CAPLUS
CN 1H-Benzimidazolium, 2-[3-[1,3-diethyl-1,3-dihydro-5-[(trifluoromethyl)sulfonyl]-2H-benzimidazol-2-ylidene]-1-propenyl]-1,3-diethyl-5-[(trifluoromethyl)sulfonyl]-, iodide (9CI) (CA INDEX NAME)

AB p-ClC6H4SR [R = CF2CF2CF3, (CF2)5CF3, CF(CF3)2, C(CF3)3] were oxidized to the resp. sulfones, which were nitrated, treated with EtNH2, reduced with SnCl2, and cyclized with AcCl to give benzimidazoles (I). The I were quaternized and converted by standard reactions to sym. and unsym. carbocyanines, dimethinemerocyanines with ethylrhodanine, and styryl dyes. The variation in R had little effect on the absorption λmax of the cyanines in alc. solution, but did affect slightly the extent of solvatochromism.

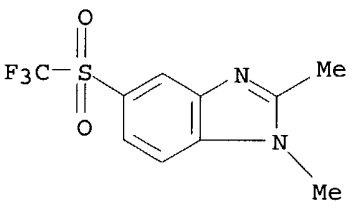
L3 ANSWER 71 OF 93 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1980:111017 CAPLUS
DN 92:111017
TI Herbicidal benzimidazoles
IN Hunter, Don L.; Belles, Wayne S.
PA United States Borax and Chemical Corp., USA
SO U.S., 7 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 4177057	A	19791204	US 1978-916669	19780619
			US 1977-844777	19771025
CA 1101685	A1	19810526	CA 1978-312778	19781005
			US 1977-844777	19771025
			US 1978-916669	19780619

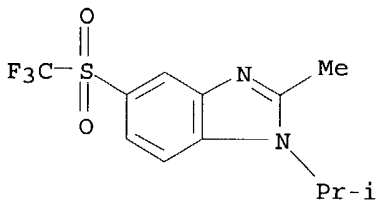
IT **732-20-7P 72851-07-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and herbicidal activity of)
RN 732-20-7 CAPLUS
CN 1H-Benzimidazole, 1-ethyl-2-methyl-5-[(trifluoromethyl)sulfonyl]- (9CI) (CA INDEX NAME)



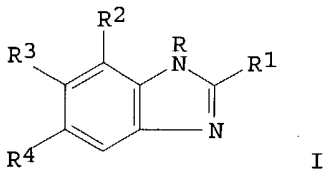
RN 72851-07-1 CAPLUS
CN 1H-Benzimidazole, 1,2-dimethyl-5-[(trifluoromethyl)sulfonyl]- (9CI) (CA INDEX NAME)



IT **72851-10-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 72851-10-6 CAPLUS
CN 1H-Benzimidazole, 2-methyl-1-(1-methylethyl)-5-[(trifluoromethyl)sulfonyl]-
(9CI) (CA INDEX NAME)



GI

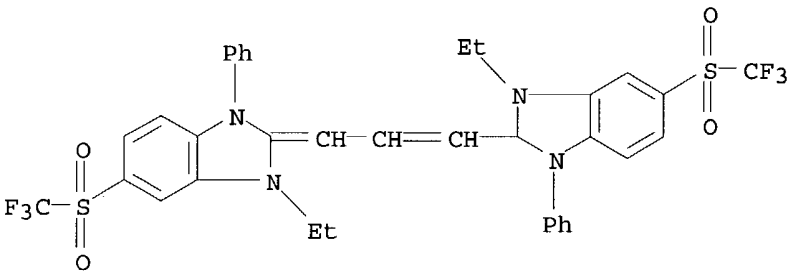


I

AB Herbicidal benzimidazoles I [R, R1 = C1-3-alkyl; R2, R3 = H, halo, NO2, NH2, alkoxy; R4 = alkylsulfonyl or fluorinated alkylsulfonyl) were prepared by cyclocondensation of o-phenylenediamines with compds. such as MeC(:NH)OEt.HCl and Ac2O. Thus, dropwise addition of Ac2O to 4-[(difluoromethyl)sulfonyl]-N'-ethyl-o-phenylenediamine in (MeOCH2)2 followed by 4 h reflux gave 70% I (R = Et, R1 = Me, R2 = R3 = H, R4 = F2CHSO2), which showed both post- and pre-emergence herbicidal activity against a variety of weeds with little to great crop damage, depending on concentration

L3 ANSWER 72 OF 93 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1980:102226 CAPLUS
DN 92:102226
TI Effect of protected components and their solvents, which produce the protective shell, on the sensitizing properties of dyes of different structure. III. Imidacarbocyanines
AU Kudryavskaya, N. V.; Lifshits, E. B.; Shumelyak, G. P.
CS USSR
SO Trudy Vsesoyuznogo Gosudarstvennogo Nauchno-Issledovatel'skogo i Proektnogo Instituta Khimiko-Fotograficheskoi Promyshlennosti (1977), 25, 58-74
CODEN: TVGNBK; ISSN: 0372-2724
DT Journal
LA Russian
IT **21527-70-8 34374-56-6 72884-99-2**
RL: TEM (Technical or engineered material use); USES (Uses)
(photog. spectral sensitizer, properties of, effects of protected components and their solvents on)
RN 21527-70-8 CAPLUS
CN 1H-Benzimidazolium, 2-[3-[1,3-diethyl-1,3-dihydro-5-

AU Lifshits, E. P.; Shagalova, D. Ya.; Yagupol'skii, L. M.; Levkoev, I. I.
CS Vses. Gos. Nauchno-Issled. Proektn. Inst. Khim.-Fotogr. Prom., Moscow, USSR
SO Zhurnal Nauchnoi i Prikladnoi Fotografii i Kinematografii (1979), 24(2), 140-2
CODEN: ZNPFAG; ISSN: 0044-4561
DT Journal
LA Russian
IT **27128-13-8**
RL: USES (Uses)
(photog. desensitization by)
RN 27128-13-8 CAPLUS
CN 1H-Benzimidazolium, 3-ethyl-2-[3-[3-ethyl-1,3-dihydro-1-phenyl-5-[(trifluoromethyl)sulfonyl]-2H-benzimidazol-2-ylidene]-1-propenyl]-1-phenyl-5-[(trifluoromethyl)sulfonyl]- (9CI) (CA INDEX NAME)

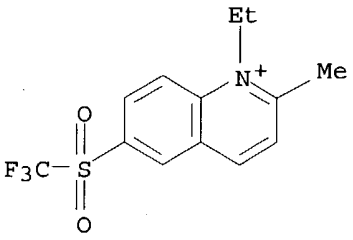


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
AB The photog. desensitizing effect of 1,1',3,3'-tetraethyl- and 1,1'-diphenyl-3,3'-diethylimidacarbocyanine dyes substituted in the heterocyclic groups is evaluated and related to their structures.

L3 ANSWER 74 OF 93 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1978:137879 CAPLUS
DN 88:137879
TI Quinaldine derivatives with fluorine-containing substituents and cyanine dyes based on them
AU Krainer, Z. Ya.; Gudz, P. F.; Yagupol'skii, L. M.
CS Inst. Org. Khim., Kiev, USSR
SO Khimiya Geterotsiklicheskikh Soedinenii (1978), (1), 76-8
CODEN: KGSSAQ; ISSN: 0453-8234
DT Journal
LA Russian
IT **66023-46-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and conversion to cyanine dyes)
RN 66023-46-9 CAPLUS
CN Quinolinium, 1-ethyl-2-methyl-6-[(trifluoromethyl)sulfonyl]-, salt with 4-methylbenzenesulfonic acid (1:1) (9CI) (CA INDEX NAME)

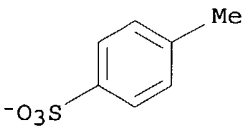
CM 1

CRN 66023-45-8
CMF C13 H13 F3 N O2 S



CM 2

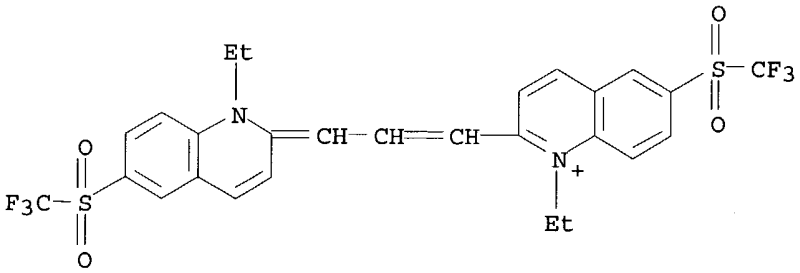
CRN 16722-51-3
CMF C7 H7 O3 S



IT **66023-30-1P 66023-38-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and optical absorption of)
RN 66023-30-1 CAPLUS
CN Quinolinium, 1-ethyl-2-[3-[1-ethyl-6-[(trifluoromethyl)sulfonyl]-2(1H)-quinolinylidene]-1-propenyl]-6-[(trifluoromethyl)sulfonyl]-, salt with 4-methylbenzenesulfonic acid (1:1) (9CI) (CA INDEX NAME)

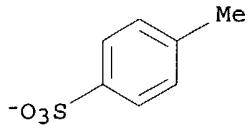
CM 1

CRN 66023-29-8
CMF C27 H23 F6 N2 O4 S2

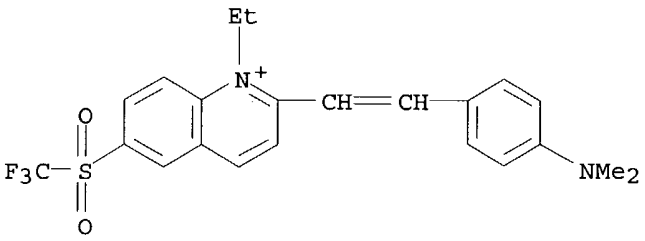


CM 2

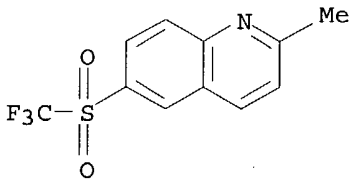
CRN 16722-51-3
CMF C7 H7 O3 S



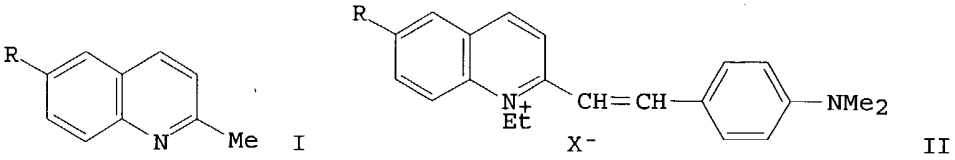
RN 66023-38-9 CAPLUS
CN Quinolinium, 2-[2-[4-(dimethylamino)phenyl]ethenyl]-1-ethyl-6-[(trifluoromethyl)sulfonyl]-, iodide (9CI) (CA INDEX NAME)



IT **66023-23-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and quaternization of)
RN 66023-23-2 CAPLUS
CN Quinoline, 2-methyl-6-[(trifluoromethyl)sulfonyl]- (9CI) (CA INDEX NAME)



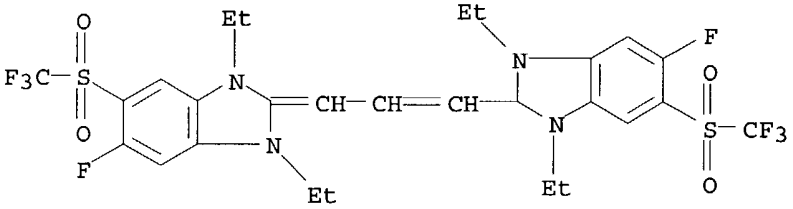
GI



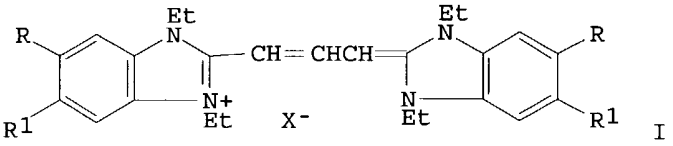
AB Quinaldines I (R = F, CF3, CF3S, CF3SO2) were prepared by reaction of p-RC6H4NH2 with paraldehyde [123-63-7], quaternized with p-MeC6H4SO3Et, and converted by standard methods to sym. carbocyanines, merocyanines with

ethylrhodanine nuclei, and styryl dyes II (X = p-MeC6H4SO2, I). The R cause a bathochromic shift (vs. R = H) in the absorption maximum of the carbocyanines and II. The merocyanines show pos. solvatochromism.

L3 ANSWER 75 OF 93 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1978:51931 CAPLUS
DN 88:51931
TI Effect of the disturbance of substituent coplanarity in hetero groups on properties of 5,5',6,6'-tetrasubstituted imidacarbocyanines
AU Lifshits, E. B.; Il'chenko, A. Ya.; Yagupol'skii, L. M.; Shagalova, D. Ya.; Shumelyak, G. P.; Levkoev, I. I.
CS Vses. Gos. Nauchno-Issled. Proektn. Inst. Khim.-Fotogr. Prom., Moscow, USSR
SO Doklady Akademii Nauk SSSR (1977), 236(6), 1375-8 [Chem.]
CODEN: DANKAS; ISSN: 0002-3264
DT Journal
LA Russian
IT **21527-73-1**
RL: USES (Uses)
(acidity and visible absorption of, substituent interaction in relation to)
RN 21527-73-1 CAPLUS
CN 1H-Benzimidazolium, 2-[3-[1,3-diethyl-5-fluoro-1,3-dihydro-6-[(trifluoromethyl)sulfonyl]-2H-benzimidazol-2-ylidene]-1-propenyl]-1,3-diethyl-5-fluoro-6-[(trifluoromethyl)sulfonyl]- (9CI) (CA INDEX NAME)



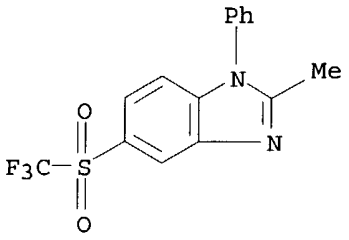
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
GI



AB The deviations from additivity of the effects of substituents R and R1 on the λmax and pKa of imidacarbocyanines I (R = H, F, CN, CF3, SO2CF3, CO2Me, CO2Et; R1 = H, F, Cl, Br, I, CF3, CO2Me, CO2Et) were attributed to sterically forcing the substituents out of the plane of the benzimidazole ring and to rotating the CO2Me and CO2Et so that the carbonyl groups were no longer coplanar with the ring, both causing a reduction in the conjugative effect passed on to the polymethine chromophore. The deviations increased with increasing bulk of the substituents, and the angle (θ) between the ring-substituent bond and the ring plane calculated from the pKa by assuming that the mesomeric contribution to σ

1-C10H7NH2 at 140-170° gave 90% N-[2-nitro-4-(trifluoromethylsulfonyl)phenyl]-1-naphthylamine, m. 130-1°, which with SnCl2-HCl in EtOH gave the 2-amino analog, 92%, m. 170-1°. This with Ac2O in 4N HCl gave 62.5% 2-methyl-3-(1-naphthyl)-6-(trifluoromethylsulfonyl)benzimidazole, m. 150-2°. 1,2,3-Trimethyl-6-trifluoromethylbenzimidazolium methosulfate was prepared from the components at 120°. The following dyes were prepared from appropriate quaternary salts and HC(OEt)3 in PhNO2: II, (R, R', R'', X shown resp.): CF3, Me, Me, I, λ 494 mμ, m. 255-8°; CF3, Et, Et, I, λ 507, m. 251-2°; CF3, Ph, Me, ClO4, λ 504, m. 250-3°; CF3, Ph, Et, I, λ 511, m. 232-5°; SO2CF3, Et, Et, I, λ 522, m. 255-7°; SO2CF3, Ph, Me, I, λ 518, m. 232-3°; SO2CF3, Ph, Et, ClO4, λ 525, m. 230-2°; SO2CF3, 1-C10H7, Et, ClO4, λ 525, m. 284-6°. 1-Ethyl-2-methyl-3-phenyl-6-(trifluoromethylsulfonyl)benzimidazolium perchlorate and 2-methylthiobenzothiazole ethiodide with Et3N in EtOH gave 25% yellow 1-ethyl-3-phenyl-6-(trifluoromethylsulfonyl)-2-benzimidazole-3'-ethyl-2'-benzothiazolemonomethinecyanine perchlorate, decomposing at 189-91°, λ 426 mμ. 2-Methyl-3-phenyl-6-trifluoromethylbenzimidazole ethiodide and 2-(β-acetanilidovinyl)benzothiazole ethiodide similarly gave 15% red 1-ethyl-3-phenyl-6-trifluoromethyl-2-benzimidazole-3'-ethyl-2'-benzothiazoletrimethinecyanine perchlorate, decomposing at 254-6°, λ 521. Heating Me2SO4 with 3-ethyl-4-oxo-5-[(3-ethyl-6,7-tetramethylene-2-benzothiazolinylidene)-α-phenylethylidene]merocyanine at 125° and heating the product with 1-ethyl-2-methyl-3-phenyl-6-(trifluoromethylsulfonyl)benzimidazolium perchlorate in pyridine gave 7.65% black 1'-ethyl-3'-phenyl-6'-(trifluoromethylsulfonyl)-2'-benzimidazole-3-ethyl-4-oxo-5-[(3''-ethyl-6'',7''-tetramethylene-2''-benzothiazolinylidene)-α-phenylethylidene]-2-thiazolemethinecyanine perchlorate, decomposing at 244-6°, λ 616. A similar prepn, using 1-ethyl-3-phenyl-6-(trifluoromethyl)benzimidazolium perchlorate gave 9.5% black 1'-ethyl-3'-phenyl-6'-trifluoromethyl-2'-benzimidazole-3-ethyl-4-oxo-5-[(3''-ethyl-6'', 7''-tetramethylene-2''-benzothiazolinylidene)-α-phenylethylidene]-2-thiazolemethinecyanine perchlorate, decomposing at 299-301°, absolute maximum 600.

L3 ANSWER 93 OF 93 CAPLUS COPYRIGHT 2004 ACS on STN
AN 1959:121729 CAPLUS
DN 53:121729
OREF 53:21765i,21766a-f
TI Synthesis of phenyl trifluoromethyl sulfone derivatives
AU Yagupol'skii, L. M.; Marenets, M. S.
CS Inst. Org. Chem., Acad. Sci. Ukr. S.S.R., Kiev
SO Zhurnal Obshchei Khimii (1959), 29, 278-83
CODEN: ZOKHA4; ISSN: 0044-460X
DT Journal
LA Unavailable
OS CASREACT 53:121729
IT **2263-77-6**, Benzimidazole, 2-methyl-1-phenyl-5-(trifluoromethylsulfonyl)-
(preparation of)
RN 2263-77-6 CAPLUS
CN Benzimidazole, 2-methyl-1-phenyl-5-[(trifluoromethyl)sulfonyl]- (6CI, 8CI) (CA INDEX NAME)



AB Sandmeyer reaction of p-H2NC6H4SMe (I) gave 67% p-ClC6H4SMe, b. 228-9°, b10 104-5°, chlorination of which in CHCl3 under an incandescent lamp gave 90% p-ClC6H4SCCl3, m. 59-60° (petr. ether), which heated with SbF3 gave 71% p-ClC6H4SCF3, b. 173-4°. This refluxed with CrO3 in AcOH 9 hrs. gave 94% p-ClC6H4SO2CF3, m. 55-6°, which with fuming HNO3 in 20% oleum, finally at 90-5°, gave 84% 3-nitro-4-chlorophenyl trifluoromethyl sulfone (II), m. 55-6°, which treated overnight with N2H4.H2O gave 95% 3-nitro-4-hydrazinophenyl trifluoromethyl sulfone, m. 139-40°. II with SnCl2 in alc. HCl gave 84% 3-amino-4-chlorophenyl trifluoromethyl sulfone, m. 94-5°; Ac derivative m. 115-16°. Diazotization of I and treatment with HBF4 gave a precipitate of the diazonium fluoborate which was pyrolyzed to 60% p-FC6H4SMe, b. 184-5°; chlorination gave 90% p-FC6H4SCCl3, b18 122°, which gave 75.5% p-FC6H4SCF3, b. 138°, oxidized to 90% p-FC6H4SO2CF3, b. 196-7°, m. 32°. This gave 78% 3-nitro-4-fluorophenyl trifluoromethyl sulfone, b8 133-5°, and then 81% 3-amino-4-fluorophenyl trifluoromethyl sulfone, m. 65-6° (Ac derivative m. 133-4°). II and MeONa-MeOH in 2 hrs. gave 92% 3-nitro-4-methoxyphenyl trifluoromethyl sulfone, m. 81-2°, which reduced with SnCl2 to 91% 3-amino-4-methoxyphenyl trifluoromethyl sulfone, m. 91-2° (Ac derivative m. 135-6°). Heating II with 25% NH4OH 6 hrs. at 140° and 1 hr. at 150-5° gave 75% 3-nitro-4-aminophenyl trifluoromethyl sulfone, m. 127-8°, which with SnCl2-HCl gave 92% 3,4-diaminophenyl trifluoromethyl sulfone, m. 109-10°. This heated with benzil in EtOH gave 86% 5-trifluoromethylsulfonylquinoxaline, m. 144-5°. Refluxing the diamine (5.6 g.) with 20 ml. 20% HCl and 10 ml. Ac2O 2 hrs. and treating with NH4OH gave 80% 2-methyl-6-trifluoromethylsulfonylbenzimidazole, m. 153°. Heating 6 g. 2-nitro-4-chlorophenyl trifluoromethyl sulfone and 12 g. PhNH2 5 hrs. at 145° gave after washing with aqueous HCl 92% 2-nitro-4-trifluoromethylsulfonyldiphenylamine, m. 99-100°, which with SnCl2-HCl gave 90.5% 2-amino analog, m. 135-6°, which refluxed 6 hrs. with AcCl in C6H6 gave 74.3% 2-methyl-3-phenyl-6-trifluoromethylsulfonylbenzimidazole, m. 190-1°. Treating II with Na2S2 in EtOH and refluxing 4 hrs. gave 70% 2,2'-dinitro-4,4'-bis(trifluoromethylsulfonyl)phenyl disulfide, m. 223-4°. This reduced with Zn dust in AcOH-HCl, then boiled with Ac2O 3 hrs. gave 60% 2-methyl-5-trifluoromethylsulfonylbenzothiazole, m. 94-5°. This heated 4 hrs. with p-MeC6H4SO3Et and treated with KI gave 70% 3-methyl-5-trifluoromethylsulfonylbenzothiazole ethiodide, which refluxed 45 min. with HC(OEt)3 in Ac2O gave 38% 5,5'-bis(trifluoromethylsulfonyl)-3,3'-diethylthiacarbocyanine iodide, λ 556 mμ. Similar reaction of the quaternary salt with p-Me2NC6H4CHO in refluxing Ac2O gave 50% 2-(p-dimethylaminostyryl)-5-(trifluoromethylsulfonyl)benzothiazole ethiodide, m. 235-6°, λ 555 mμ.

```
=> d his

     (FILE 'HOME' ENTERED AT 09:52:52 ON 18 AUG 2004)

     FILE 'REGISTRY' ENTERED AT 09:53:02 ON 18 AUG 2004
L1             STRUCTURE UPLOADED
L2          196 S L1 SSS FULL

     FILE 'CAPLUS' ENTERED AT 09:53:28 ON 18 AUG 2004
L3           93 S L2

=> s l3 and phosphatase
L4            1 L3 AND PHOSPHATASE

=> d l4 fbib hitstr abs total

L4   ANSWER 1 OF 1  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   2001:167962  CAPLUS
DN   134:222529
TI   Preparation of aromatic trifluoromethylsulfonyl and
     trifluoromethylsulfonamido compounds as phosphate mimics and
     phosphatase inhibitors and methods of treatment
IN   Huang, Ping; Wei, Chung Chen; Tang, Peng Cho; Liang, Chris; Ramphal, John;
     Jallal, Bahija; Blitz, John; Li, Sharon; Mattson, Matthew Neil; Mcahon,
     Gerald; Koenig, Marcel
PA   Sugen, Inc., USA; et al.
SO   PCT Int. Appl., 262 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.          KIND   DATE        APPLICATION NO.          DATE
     ----------          ----   --------    ---------------------    --------
PI   WO 2001016097        A1    20010308    WO 2000-US23293          20000825
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,
             HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
             LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
             SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
             YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
             CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                         US 1999-150970P     P  19990827
                                         US 1999-165365P     P  19991112
     EP 1212296            A1    20020612    EP 2000-961360           20000825
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL
                                         US 1999-150970P     P  19990827
                                         US 1999-165365P     P  19991112
                                         WO 2000-US23293     W  20000825
     JP 2003508382         T2    20030304    JP 2001-519667           20000825
                                         US 1999-150970P     P  19990827
                                         US 1999-165365P     P  19991112
                                         WO 2000-US23293     W  20000825
     US 6596772            B1    20030722    US 2000-645879           20000825
                                         US 1999-150970P     P  19990827
                                         US 1999-165365P     P  19991112
     NZ 517426             A     20040430    NZ 2000-517426           20000825
```

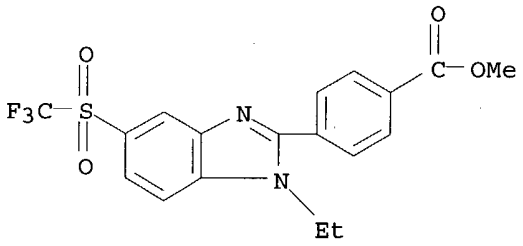
			US 1999-150970P	P	19990827
			US 1999-165365P	P	19991112
			WO 2000-US23293	W	20000825
ZA 2002001609	A	20030526	ZA 2002-1609		20020226
			US 1999-150970P	P	19990827
US 2004138255	A1	20040715	US 2003-618083		20030714
			US 1999-150970P	P	19990827
			US 1999-165365P	P	19991112
			US 2000-645879	A3	20000825

OS MARPAT 134:222529

IT **329317-61-5P**, 4-(1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazol-2-yl)benzoic acid methyl ester **329317-62-6P**, 4-(1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazol-2-yl)benzoic acid
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of aromatic trifluoromethylsulfonyl and trifluoromethylsulfonamido compds. as phosphate mimics and **phosphatase** inhibitors)

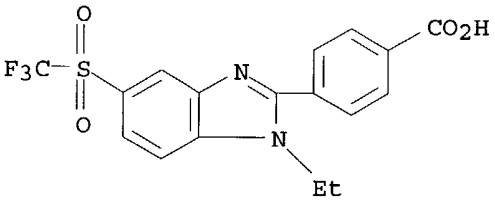
RN 329317-61-5 CAPLUS

CN Benzoic acid, 4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



RN 329317-62-6 CAPLUS

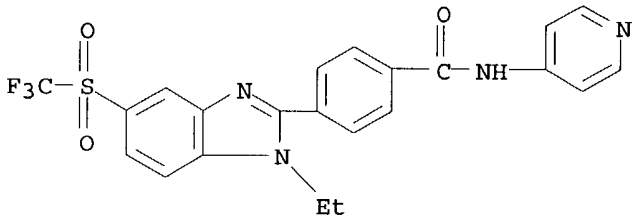
CN Benzoic acid, 4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



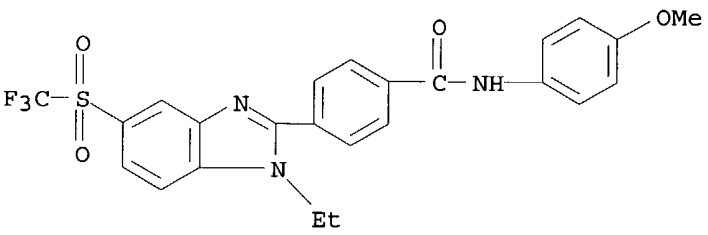
IT **329317-63-7P**, 4-(1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazol-2-yl)-N-pyridin-4-ylbenzamide **329317-64-8P**, 4-(1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazol-2-yl)-N-(4-methoxyphenyl)benzamide **329317-65-9P**, 3-[4-(1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazol-2-yl)benzoylamino]benzoic acid ethyl ester **329317-66-0P**, 4-(1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazol-2-yl)-N-(2-pyrrolidin-1-ylethyl)benzamide **329317-67-1P**, N-Ethyl-4-(1-ethyl-5-trifluoromethanesulfonyl-1H-benzimidazol-2-yl)benzamide **329317-68-2P**, 1-Ethyl-5-

trifluoromethanesulfonyl-1H-benzimidazole-2-carboxylic acid
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of aromatic trifluoromethylsulfonyl and trifluoromethylsulfonamido compds. as phosphate mimics and **phosphatase** inhibitors)

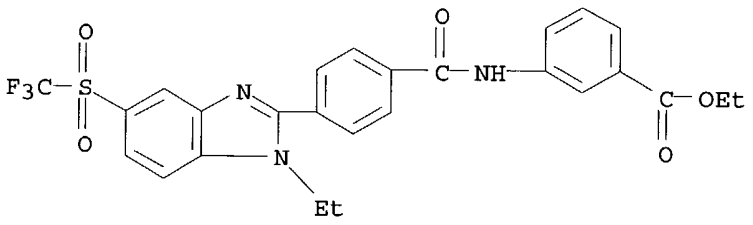
RN 329317-63-7 CAPLUS
CN Benzamide, 4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]-N-4-pyridinyl- (9CI) (CA INDEX NAME)



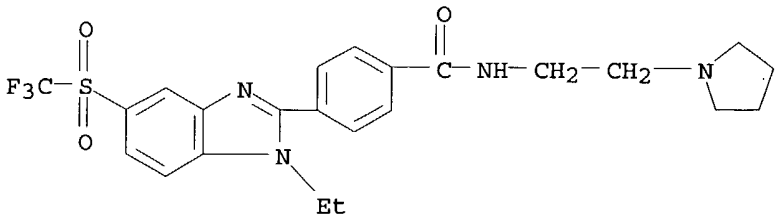
RN 329317-64-8 CAPLUS
CN Benzamide, 4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]-N-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



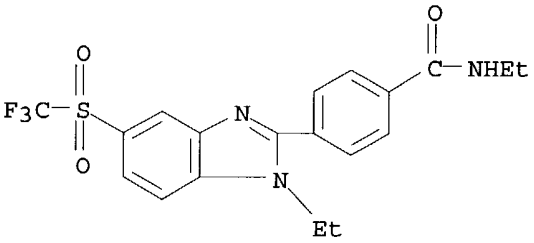
RN 329317-65-9 CAPLUS
CN Benzoic acid, 3-[[4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]benzoyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



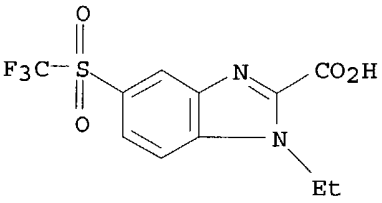
RN 329317-66-0 CAPLUS
CN Benzamide, 4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]-N-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)



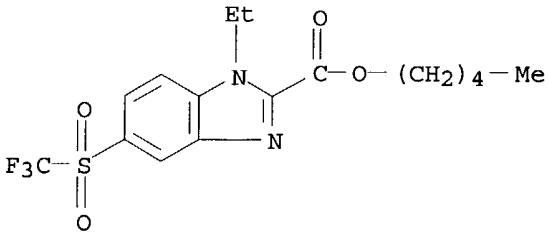
RN 329317-67-1 CAPLUS
CN Benzamide, N-ethyl-4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



RN 329317-68-2 CAPLUS
CN 1H-Benzimidazole-2-carboxylic acid, 1-ethyl-5-[(trifluoromethyl)sulfonyl]- (9CI) (CA INDEX NAME)



IT **329318-33-4P**, 1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazole-2-carboxylic acid pentyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of aromatic trifluoromethylsulfonyl and trifluoromethylsulfonamido compds. as phosphate mimics and **phosphatase** inhibitors)
RN 329318-33-4 CAPLUS
CN 1H-Benzimidazole-2-carboxylic acid, 1-ethyl-5-[(trifluoromethyl)sulfonyl]-, pentyl ester (9CI) (CA INDEX NAME)



GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to trifluoromethyl sulfonyl and trifluoromethyl sulfonamido compds. and their physiol. acceptable salts and prodrugs. In particular, compds. I, II, and III are claimed [wherein: Q = CF3SO2, CF3SO2NR3, CF3SO2R4, or CF3SO2N(R3)R4; R1 = H, alkyl, haloalkyl, cyano, CO2H or derivs., halo, OH or derivs., NH2 or derivs., etc.; R2 = H, groups similar to R1; R3 = H, (un)substituted alkoxy, acyl, or alkyl; R4 = (un)substituted CH2; n = 0-3; B = atoms to complete (un)substituted fused aryl, carbocyclyl, heteroaryl, or heterocyclyl ring; A1 = (un)substituted and/or heteroatom-replaced linkage of 2-8 atoms length; A2 = similar linkage of 0-6 atoms]. These compds. are expected to modulate the activity of protein tyrosine enzymes which are related to cellular signal transduction, in particular, protein tyrosine **phosphatase** (PTP), and therefore are expected to be useful in the prevention and treatment of disorders associated with abnormal protein tyrosine enzyme related cellular signal transduction such as cancer, diabetes, immuno-modulation, neurol. degenerative diseases, osteoporosis and infectious diseases. The invention also relates to the use of compds. containing fluoromethyl sulfonyl groups as phosphate mimics. These mimics may be used to inhibit, regulate or modulate the activity of a phosphate binding protein in a cell. Over 100 compds. were prepared, and most were assayed against selected PTPs. For example, etherification of Me 4-(2-hydroxyethoxy)benzoic acid Me ester with 2-nitro-4-(trifluoromethylsulfonyl)chlorobenzene using NaH, and hydrolysis with HCl in aqueous THF-EtOH, gave title compound IV. This compound had IC50 values as follows (μM): PTP 1B = 1.5, PTP MEG2 = 1.5, PTP α = 22.2.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

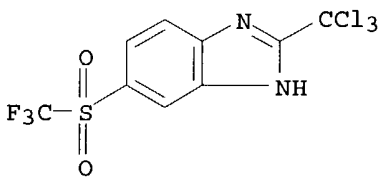
=> d his

(FILE 'HOME' ENTERED AT 09:52:52 ON 18 AUG 2004)

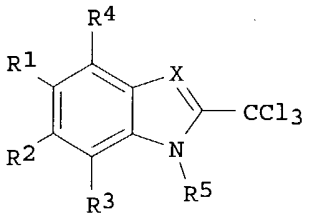
FILE 'REGISTRY' ENTERED AT 09:53:02 ON 18 AUG 2004
L1 STRUCTURE UPLOADED
L2 196 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 09:53:28 ON 18 AUG 2004
L3 93 S L2
L4 1 S L3 AND PHOSPHATASE

```
=> s l3 and cancer
L5          2 L3 AND CANCER

=> s l3 and prevention
L6          2 L3 AND PREVENTION

=> d l5 fbib hitstr abs total

L5   ANSWER 1 OF 2  CAPLUS  COPYRIGHT 2004 ACS on STN
AN   2002:977961  CAPLUS
DN   138:49896
TI   Human growth hormone antagonists
IN   Cochran, Andrea G.
PA   Genentech, Inc., USA
SO   PCT Int. Appl., 45 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
     --------------      ----   --------    --------------------   --------
PI   WO 2002102978        A2    20021227    WO 2002-US18789        20020614
     WO 2002102978        A3    20030410
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                         US 2001-298358P     P  20010615
     US 2003096852        A1    20030522    US 2002-172247         20020614
                                         US 2001-298358P     P  20010615
     EP 1401431           A2    20040331    EP 2002-744325         20020614
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
                                         US 2001-298358P     P  20010615
                                         WO 2002-US18789     W  20020614
OS   MARPAT 138:49896
IT   173549-93-4
     RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
     (Biological study); USES (Uses)
        (human growth hormone antagonists)
RN   173549-93-4  CAPLUS
CN   1H-Benzimidazole, 2-(trichloromethyl)-5-[(trifluoromethyl)sulfonyl]- (9CI)
       (CA INDEX NAME)
```



GI



I

AB The invention discloses the use of antagonist I [X = N, CH; R1, R2, R3, R4 = H, halogen, hydroxy, carboxy, nitro, amino etc.; R5 = H, alkyl, alkenyl, alkynyl etc.] for treating disorders in mammals in which human growth hormone is implicated.

L5 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:167962 CAPLUS
DN 134:222529
TI Preparation of aromatic trifluoromethylsulfonyl and trifluoromethylsulfonamido compounds as phosphate mimics and phosphatase inhibitors and methods of treatment
IN Huang, Ping; Wei, Chung Chen; Tang, Peng Cho; Liang, Chris; Ramphal, John; Jallal, Bahija; Blitz, John; Li, Sharon; Mattson, Matthew Neil; Mcahon, Gerald; Koenig, Marcel
PA Sugen, Inc., USA; et al.
SO PCT Int. Appl., 262 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001016097	A1	20010308	WO 2000-US23293	20000825
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
			US 1999-150970P P	19990827
			US 1999-165365P P	19991112
EP 1212296	A1	20020612	EP 2000-961360	20000825
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
			US 1999-150970P P	19990827
			US 1999-165365P P	19991112
			WO 2000-US23293 W	20000825
JP 2003508382	T2	20030304	JP 2001-519667	20000825
			US 1999-150970P P	19990827
			US 1999-165365P P	19991112
			WO 2000-US23293 W	20000825
US 6596772	B1	20030722	US 2000-645879	20000825
			US 1999-150970P P	19990827

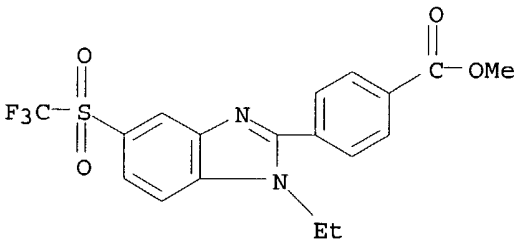
			US 1999-165365P	P	19991112
NZ 517426	A	20040430	NZ 2000-517426		20000825
			US 1999-150970P	P	19990827
			US 1999-165365P	P	19991112
			WO 2000-US23293	W	20000825
ZA 2002001609	A	20030526	ZA 2002-1609		20020226
			US 1999-150970P	P	19990827
US 2004138255	A1	20040715	US 2003-618083		20030714
			US 1999-150970P	P	19990827
			US 1999-165365P	P	19991112
			US 2000-645879	A3	20000825

OS MARPAT 134:222529

IT **329317-61-5P**, 4-(1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazol-2-yl)benzoic acid methyl ester **329317-62-6P**, 4-(1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazol-2-yl)benzoic acid
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of aromatic trifluoromethylsulfonyl and trifluoromethylsulfonamido compds. as phosphate mimics and phosphatase inhibitors)

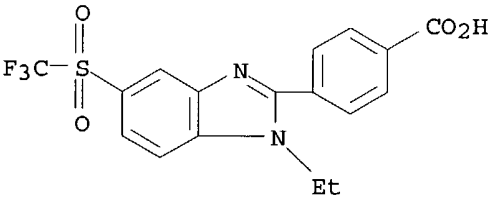
RN 329317-61-5 CAPLUS

CN Benzoic acid, 4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



RN 329317-62-6 CAPLUS

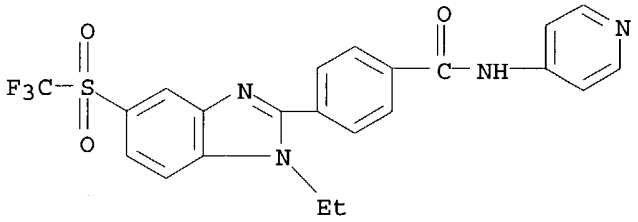
CN Benzoic acid, 4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



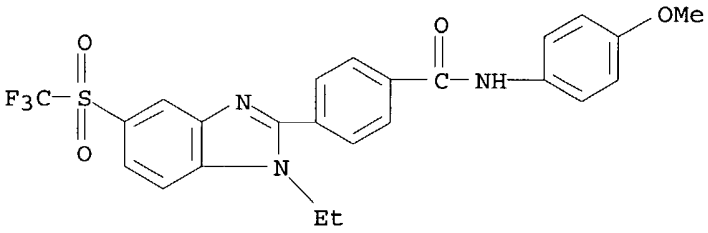
IT **329317-63-7P**, 4-(1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazol-2-yl)-N-pyridin-4-ylbenzamide **329317-64-8P**, 4-(1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazol-2-yl)-N-(4-methoxyphenyl)benzamide **329317-65-9P**, 3-[4-(1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazol-2-yl)benzoylamino]benzoic acid ethyl ester **329317-66-0P**, 4-(1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazol-2-yl)-N-(2-pyrrolidin-1-ylethyl)benzamide

329317-67-1P, N-Ethyl-4-(1-ethyl-5-trifluoromethanesulfonyl-1H-benzimidazol-2-yl)benzamide **329317-68-2P**, 1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazole-2-carboxylic acid
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of aromatic trifluoromethylsulfonyl and trifluoromethylsulfonamido compds. as phosphate mimics and phosphatase inhibitors)

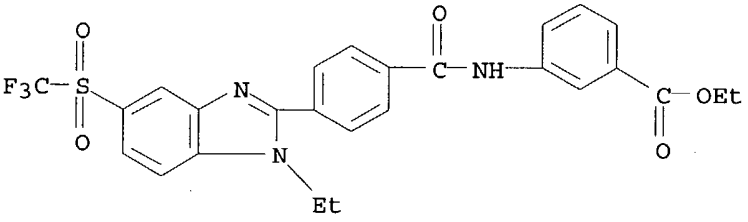
RN 329317-63-7 CAPLUS
CN Benzamide, 4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]-N-4-pyridinyl- (9CI) (CA INDEX NAME)



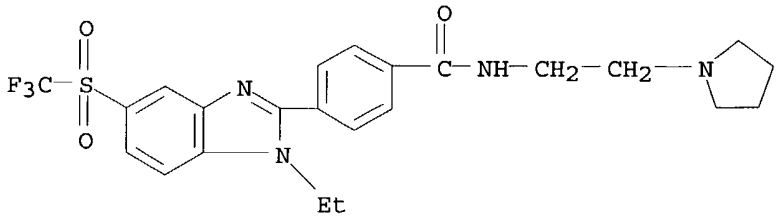
RN 329317-64-8 CAPLUS
CN Benzamide, 4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]-N-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



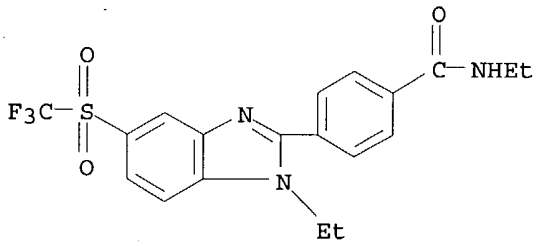
RN 329317-65-9 CAPLUS
CN Benzoic acid, 3-[[4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]benzoyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



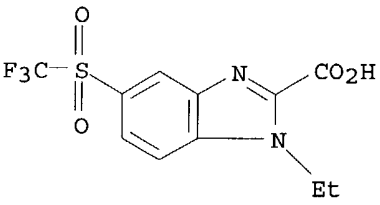
RN 329317-66-0 CAPLUS
CN Benzamide, 4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]-N-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)



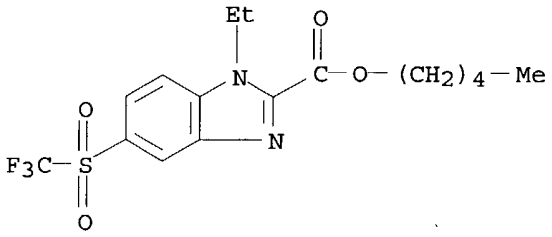
RN 329317-67-1 CAPLUS
CN Benzamide, N-ethyl-4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



RN 329317-68-2 CAPLUS
CN 1H-Benzimidazole-2-carboxylic acid, 1-ethyl-5-[(trifluoromethyl)sulfonyl]- (9CI) (CA INDEX NAME)



IT **329318-33-4P**, 1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazole-2-carboxylic acid pentyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of aromatic trifluoromethylsulfonyl and trifluoromethylsulfonamido compds. as phosphate mimics and phosphatase inhibitors)
RN 329318-33-4 CAPLUS
CN 1H-Benzimidazole-2-carboxylic acid, 1-ethyl-5-[(trifluoromethyl)sulfonyl]-, pentyl ester (9CI) (CA INDEX NAME)



GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to trifluoromethyl sulfonyl and trifluoromethyl sulfonamido compds. and their physiol. acceptable salts and prodrugs. In particular, compds. I, II, and III are claimed [wherein: Q = CF3SO2, CF3SO2NR3, CF3SO2R4, or CF3SO2N(R3)R4; R1 = H, alkyl, haloalkyl, cyano, CO2H or derivs., halo, OH or derivs., NH2 or derivs., etc.; R2 = H, groups similar to R1; R3 = H, (un)substituted alkoxy, acyl, or alkyl; R4 = (un)substituted CH2; n = 0-3; B = atoms to complete (un)substituted fused aryl, carbocyclyl, heteroaryl, or heterocyclyl ring; A1 = (un)substituted and/or heteroatom-replaced linkage of 2-8 atoms length; A2 = similar linkage of 0-6 atoms]. These compds. are expected to modulate the activity of protein tyrosine enzymes which are related to cellular signal transduction, in particular, protein tyrosine phosphatase (PTP), and therefore are expected to be useful in the prevention and treatment of disorders associated with abnormal protein tyrosine enzyme related cellular signal transduction such as **cancer**, diabetes, immuno-modulation, neurol. degenerative diseases, osteoporosis and infectious diseases. The invention also relates to the use of compds. containing fluoromethyl sulfonyl groups as phosphate mimics. These mimics may be used to inhibit, regulate or modulate the activity of a phosphate binding protein in a cell. Over 100 compds. were prepared, and most were assayed against selected PTPs. For example, etherification of Me 4-(2-hydroxyethoxy)benzoic acid Me ester with 2-nitro-4-(trifluoromethylsulfonyl)chlorobenzene using NaH, and hydrolysis with HCl in aqueous THF-EtOH, gave title compound IV. This compound had IC50 values as follows (μM): PTP 1B = 1.5, PTP MEG2 = 1.5, PTP α = 22.2.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

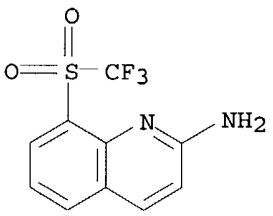
=> d l6 fbib hitstr abs total

L6 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2003:1006775 CAPLUS
DN 140:42040
TI Preparation of 2-aminoquinolines as melanin concentrating hormone receptor antagonists
IN Collins, Christine A.; Gao, Ju; Kym, Philip R.; Lewis, Jared C.; Souers, Andrew J.; Vasudevan, Anil; Wodka, Dariusz
PA Abbott Laboratories, USA
SO PCT Int. Appl., 99 pp.
CODEN: PIXXD2

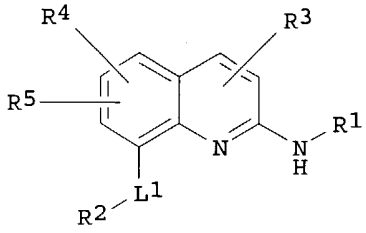
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
PI WO 2003105850	A1	20031224	WO 2003-US18959		20030617
W: CA, JP, MX					
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR					
			US 2002-174109	A	20020618
			US 2003-460139	A	20030612
US 2004063756	A1	20040401	US 2003-460139		20030612
			US 2002-389558P	P	20020618

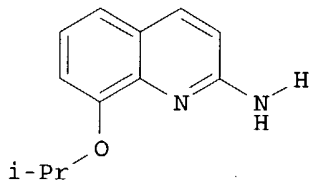
OS MARPAT 140:42040
IT **635757-08-3P**, 8-Trifluoromethylsulfonylquinoline-2-amine
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of 2-aminoquinolines as melanin concentrating hormone
receptor antagonists)
RN 635757-08-3 CAPLUS
CN 2-Quinolinamine, 8-[(trifluoromethyl)sulfonyl]- (9CI) (CA INDEX NAME)



GI



I



II

AB Title compds. I [wherein L1 = a bond or C:O, O, S, S:O, S(O2); R1 = H, aryl/heterocyclyl/alkyl, aryl, aryl/alkoxy, arylcarbonyl, heterocyclyl, NH2 and derivs., CONH2 and derivs.; R2 = H, (aryl, aryloxy, cyclo, cycloalkyl, halo, heterocyclyl, heterocyclyloxy, heterocyclyloxyalkoxy)/alkyl, alkoxy, alkenyl, alkoxyalkyl, etc.; R3, R4, R5 = independently H, alkyl, OH, CN, halo, haloalkoxy, NH2 and derivs., alkylcarbonylamino; provided that if any of R3, R4, or R5 = alkyl or alkoxy, or if L = a bond and R2 = alkyl or alkoxy, then R1 .notequal. H; their therapeutically suitable salts, salts and zwitterions, or prodrugs] were prepared as melanin-concentrating hormone (MCH) receptor antagonists for **prevention** or treatment of eating disorders, weight gain and obesity. About 204 synthetic examples are given. For instance, II was prepared by Mitsunobu reaction of 2-amino-8-hydroxyquinoline with isopropanol in THF in the presence of DBAD/resin-bound PPh3. In a fluorescence assay for release of intracellular Ca++ induced by activation of MCH receptor, a preferred group of I inhibited MCH-induced fluorescence in a range of 90-100% at 10 μM. I are useful for treatment of abnormalities in reproduction and sexual behavior, thyroid hormone secretion, diuresis and water/electrolyte homeostasis, sensory processing, memory, sleeping, arousal, anxiety, depression, seizures, neurodegeneration and psychiatric disorders (no data).

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:167962 CAPLUS
DN 134:222529
TI Preparation of aromatic trifluoromethylsulfonyl and trifluoromethylsulfonamido compounds as phosphate mimics and phosphatase inhibitors and methods of treatment
IN Huang, Ping; Wei, Chung Chen; Tang, Peng Cho; Liang, Chris; Ramphal, John; Jallal, Bahija; Blitz, John; Li, Sharon; Mattson, Matthew Neil; Mcahon, Gerald; Koenig, Marcel
PA Sugen, Inc., USA; et al.
SO PCT Int. Appl., 262 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001016097	A1	20010308	WO 2000-US23293	20000825
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
			US 1999-150970P	P 19990827
			US 1999-165365P	P 19991112
EP 1212296	A1	20020612	EP 2000-961360	20000825
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
			US 1999-150970P	P 19990827
			US 1999-165365P	P 19991112

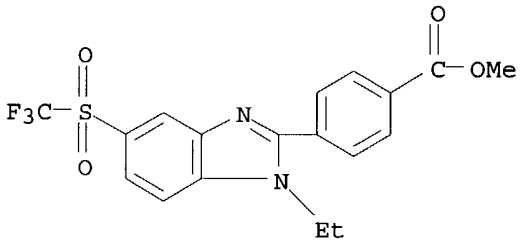
			WO 2000-US23293	W	20000825
JP 2003508382	T2	20030304	JP 2001-519667		20000825
			US 1999-150970P	P	19990827
			US 1999-165365P	P	19991112
			WO 2000-US23293	W	20000825
US 6596772	B1	20030722	US 2000-645879		20000825
			US 1999-150970P	P	19990827
			US 1999-165365P	P	19991112
NZ 517426	A	20040430	NZ 2000-517426		20000825
			US 1999-150970P	P	19990827
			US 1999-165365P	P	19991112
			WO 2000-US23293	W	20000825
ZA 2002001609	A	20030526	ZA 2002-1609		20020226
			US 1999-150970P	P	19990827
US 2004138255	A1	20040715	US 2003-618083		20030714
			US 1999-150970P	P	19990827
			US 1999-165365P	P	19991112
			US 2000-645879	A3	20000825

OS MARPAT 134:222529

IT **329317-61-5P**, 4-(1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazol-2-yl)benzoic acid methyl ester **329317-62-6P**, 4-(1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazol-2-yl)benzoic acid
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of aromatic trifluoromethylsulfonyl and trifluoromethylsulfonamido compds. as phosphate mimics and phosphatase inhibitors)

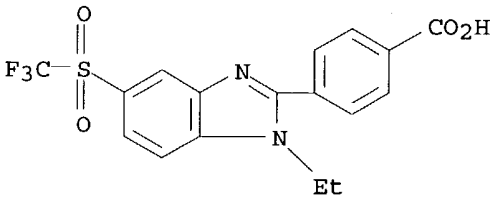
RN 329317-61-5 CAPLUS

CN Benzoic acid, 4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



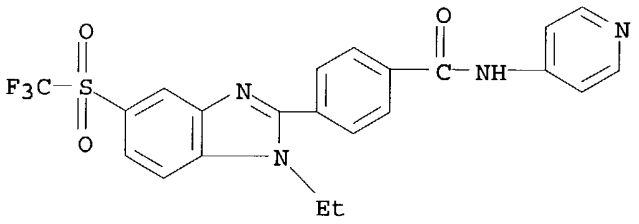
RN 329317-62-6 CAPLUS

CN Benzoic acid, 4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

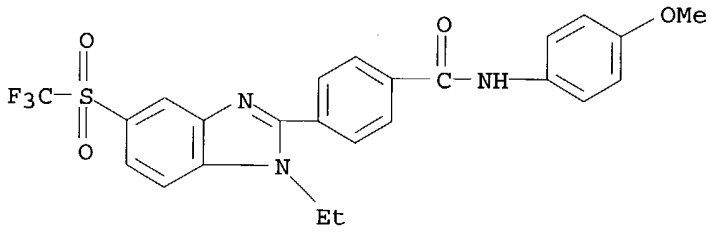


IT **329317-63-7P**, 4-(1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazol-2-yl)-N-pyridin-4-ylbenzamide **329317-64-8P**, 4-(1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazol-2-yl)-N-(4-methoxyphenyl)benzamide **329317-65-9P**, 3-[4-(1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazol-2-yl)benzoylamino]benzoic acid ethyl ester **329317-66-0P**, 4-(1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazol-2-yl)-N-(2-pyrrolidin-1-ylethyl)benzamide **329317-67-1P**, N-Ethyl-4-(1-ethyl-5-trifluoromethanesulfonyl-1H-benzimidazol-2-yl)benzamide **329317-68-2P**, 1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazole-2-carboxylic acid
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of aromatic trifluoromethylsulfonyl and trifluoromethylsulfonamido compds. as phosphate mimics and phosphatase inhibitors)

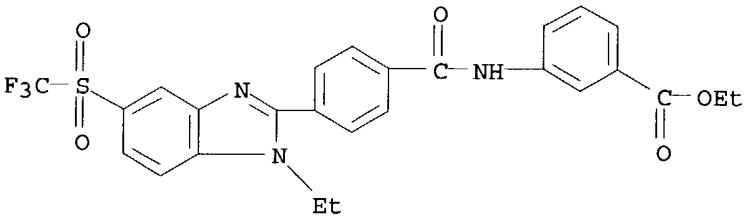
RN 329317-63-7 CAPLUS
CN Benzamide, 4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]-N-4-pyridinyl- (9CI) (CA INDEX NAME)



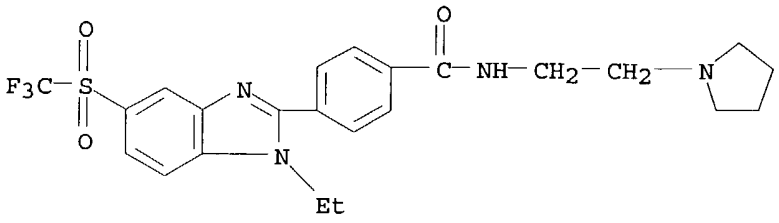
RN 329317-64-8 CAPLUS
CN Benzamide, 4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]-N-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



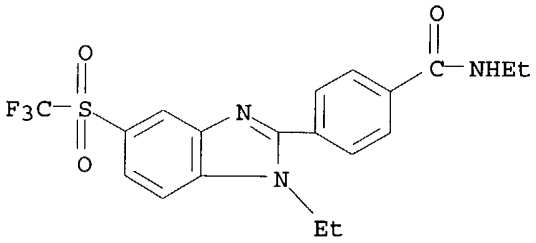
RN 329317-65-9 CAPLUS
CN Benzoic acid, 3-[[4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]benzoyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



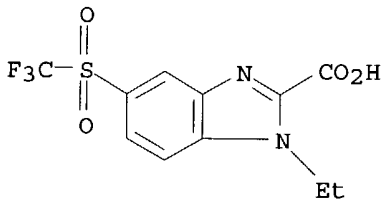
RN 329317-66-0 CAPLUS
CN Benzamide, 4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]-N-[2-(1-pyrrolidinyl)ethyl]- (9CI) (CA INDEX NAME)



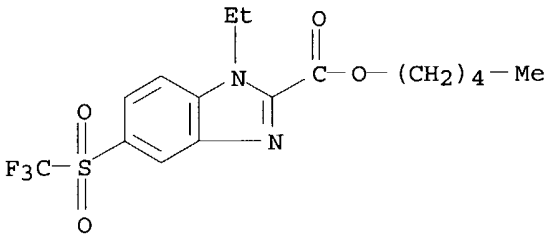
RN 329317-67-1 CAPLUS
CN Benzamide, N-ethyl-4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



RN 329317-68-2 CAPLUS
CN 1H-Benzimidazole-2-carboxylic acid, 1-ethyl-5-[(trifluoromethyl)sulfonyl]- (9CI) (CA INDEX NAME)



IT **329318-33-4P**, 1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazole-2-carboxylic acid pentyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of aromatic trifluoromethylsulfonyl and trifluoromethylsulfonamido compds. as phosphate mimics and phosphatase inhibitors)
RN 329318-33-4 CAPLUS
CN 1H-Benzimidazole-2-carboxylic acid, 1-ethyl-5-[(trifluoromethyl)sulfonyl]-, pentyl ester (9CI) (CA INDEX NAME)



GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to trifluoromethyl sulfonyl and trifluoromethyl sulfonamido compds. and their physiol. acceptable salts and prodrugs. In particular, compds. I, II, and III are claimed [wherein: Q = CF3SO2, CF3SO2NR3, CF3SO2R4, or CF3SO2N(R3)R4; R1 = H, alkyl, haloalkyl, cyano, CO2H or derivs., halo, OH or derivs., NH2 or derivs., etc.; R2 = H, groups similar to R1; R3 = H, (un)substituted alkoxy, acyl, or alkyl; R4 = (un)substituted CH2; n = 0-3; B = atoms to complete (un)substituted fused aryl, carbocyclyl, heteroaryl, or heterocyclyl ring; A1 = (un)substituted and/or heteroatom-replaced linkage of 2-8 atoms length; A2 = similar linkage of 0-6 atoms]. These compds. are expected to modulate the activity of protein tyrosine enzymes which are related to cellular signal transduction, in particular, protein tyrosine phosphatase (PTP), and therefore are expected to be useful in the **prevention** and treatment of disorders associated with abnormal protein tyrosine enzyme related cellular signal transduction such as cancer, diabetes, immuno-modulation, neurol. degenerative diseases, osteoporosis and infectious diseases. The invention also relates to the use of compds. containing fluoromethyl sulfonyl groups as phosphate mimics. These mimics may be used to inhibit, regulate or modulate the activity of a phosphate binding protein in a cell. Over 100 compds. were prepared, and most were assayed against selected PTPs. For example, etherification of Me 4-(2-hydroxyethoxy)benzoic acid Me ester with 2-nitro-4-(trifluoromethylsulfonyl)chlorobenzene using NaH, and hydrolysis with HCl in aqueous THF-EtOH, gave title compound IV. This compound had IC50 values as follows (μM): PTP 1B = 1.5, PTP MEG2 = 1.5, PTP α = 22.2.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d his

(FILE 'HOME' ENTERED AT 09:52:52 ON 18 AUG 2004)

FILE 'REGISTRY' ENTERED AT 09:53:02 ON 18 AUG 2004
L1 STRUCTURE UPLOADED
L2 196 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 09:53:28 ON 18 AUG 2004
L3 93 S L2
L4 1 S L3 AND PHOSPHATASE
L5 2 S L3 AND CANCER

Welcome to STN International! Enter x:x

LOGINID:ssspta1611sxp

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	May 12	EXTEND option available in structure searching
NEWS	4	May 12	Polymer links for the POLYLINK command completed in REGISTRY
NEWS	5	May 27	New UPM (Update Code Maximum) field for more efficient patent SDIs in CAplus
NEWS	6	May 27	CAplus super roles and document types searchable in REGISTRY
NEWS	7	Jun 28	Additional enzyme-catalyzed reactions added to CASREACT
NEWS	8	Jun 28	ANTE, AQUALINE, BIOENG, CIVILENG, ENVIROENG, MECHENG, and WATER from CSA now available on STN(R)
NEWS	9	Jul 12	BEILSTEIN enhanced with new display and select options, resulting in a closer connection to BABS
NEWS	10	Jul 30	BEILSTEIN on STN workshop to be held August 24 in conjunction with the 228th ACS National Meeting
NEWS	11	AUG 02	IFIPAT/IFIUDB/IFICDB reloaded with new search and display fields
NEWS	12	AUG 02	CAplus and CA patent records enhanced with European and Japan Patent Office Classifications
NEWS	13	AUG 02	STN User Update to be held August 22 in conjunction with the 228th ACS National Meeting
NEWS	14	AUG 02	The Analysis Edition of STN Express with Discover! (Version 7.01 for Windows) now available
NEWS	15	AUG 04	Pricing for the Save Answers for SciFinder Wizard within STN Express with Discover! will change September 1, 2004

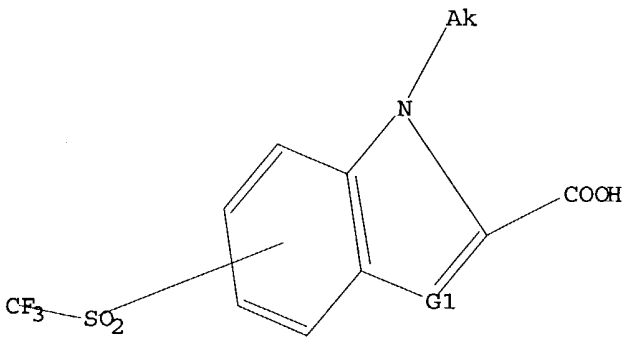
NEWS EXPRESS	JULY 30 CURRENT WINDOWS VERSION IS V7.01, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 09:22:08 ON 18 AUG 2004

```
=> file reg
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                         0.21       0.21

FILE 'REGISTRY' ENTERED AT 09:22:17 ON 18 AUG 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   17 AUG 2004  HIGHEST RN 727974-89-2
DICTIONARY FILE UPDATES:  17 AUG 2004  HIGHEST RN 727974-89-2

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading c:\program files\stnexp\queries\10618083.2

L1      STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1              STR
```




```
G1 N,CH


Structure attributes must be viewed using STN Express query preparation.


=> s l1 sss full
FULL SEARCH INITIATED 09:22:42 FILE 'REGISTRY'
```

FULL SCREEN SEARCH COMPLETED - 84 TO ITERATE

100.0% PROCESSED 84 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

L2 1 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 155.42 155.63

FILE 'CAPLUS' ENTERED AT 09:22:48 ON 18 AUG 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 18 Aug 2004 VOL 141 ISS 8
FILE LAST UPDATED: 17 Aug 2004 (20040817/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l2
L3 1 L2

=> d l3 fbib hitstr abs total

L3 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:167962 CAPLUS
DN 134:222529
TI Preparation of aromatic trifluoromethylsulfonyl and trifluoromethylsulfonamido compounds as phosphate mimics and phosphatase inhibitors and methods of treatment
IN Huang, Ping; Wei, Chung Chen; Tang, Peng Cho; Liang, Chris; Ramphal, John; Jallal, Bahija; Blitz, John; Li, Sharon; Mattson, Matthew Neil; Mcahon, Gerald; Koenig, Marcel
PA Sugen, Inc., USA; et al.
SO PCT Int. Appl., 262 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001016097	A1	20010308	WO 2000-US23293	20000825

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

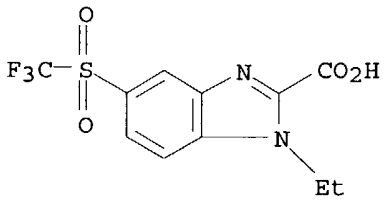
	CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
				US 1999-150970P	P 19990827
				US 1999-165365P	P 19991112
EP 1212296		A1	20020612	EP 2000-961360	20000825
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
				US 1999-150970P	P 19990827
				US 1999-165365P	P 19991112
				WO 2000-US23293	W 20000825
JP 2003508382		T2	20030304	JP 2001-519667	20000825
				US 1999-150970P	P 19990827
				US 1999-165365P	P 19991112
				WO 2000-US23293	W 20000825
US 6596772		B1	20030722	US 2000-645879	20000825
				US 1999-150970P	P 19990827
				US 1999-165365P	P 19991112
NZ 517426		A	20040430	NZ 2000-517426	20000825
				US 1999-150970P	P 19990827
				US 1999-165365P	P 19991112
				WO 2000-US23293	W 20000825
ZA 2002001609		A	20030526	ZA 2002-1609	20020226
				US 1999-150970P	P 19990827
US 2004138255		A1	20040715	US 2003-618083	20030714
				US 1999-150970P	P 19990827
				US 1999-165365P	P 19991112
				US 2000-645879	A3 20000825

OS MARPAT 134:222529

IT **329317-68-2P**, 1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazole-2-carboxylic acid
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of aromatic trifluoromethylsulfonyl and trifluoromethylsulfonamido compds. as phosphate mimics and phosphatase inhibitors)

RN 329317-68-2 CAPLUS

CN 1H-Benzimidazole-2-carboxylic acid, 1-ethyl-5-[(trifluoromethyl)sulfonyl]- (9CI) (CA INDEX NAME)



GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to trifluoromethyl sulfonyl and trifluoromethyl sulfonamido compds. and their physiol. acceptable salts and prodrugs. In particular, compds. I, II, and III are claimed [wherein: Q = CF3SO2, CF3SO2NR3, CF3SO2R4, or CF3SO2N(R3)R4; R1 = H, alkyl, haloalkyl, cyano, CO2H or derivs., halo, OH or derivs., NH2 or derivs., etc.; R2 = H, groups similar to R1; R3 = H, (un)substituted alkoxy, acyl, or alkyl; R4 = (un)substituted CH2; n = 0-3; B = atoms to complete (un)substituted fused aryl, carbocyclyl, heteroaryl, or heterocyclyl ring; A1 = (un)substituted and/or heteroatom-replaced linkage of 2-8 atoms length; A2 = similar linkage of 0-6 atoms]. These compds. are expected to modulate the activity of protein tyrosine enzymes which are related to cellular signal transduction, in particular, protein tyrosine phosphatase (PTP), and therefore are expected to be useful in the prevention and treatment of disorders associated with abnormal protein tyrosine enzyme related cellular signal transduction such as cancer, diabetes, immuno-modulation, neurol. degenerative diseases, osteoporosis and infectious diseases. The invention also relates to the use of compds. containing fluoromethyl sulfonyl groups as phosphate mimics. These mimics may be used to inhibit, regulate or modulate the activity of a phosphate binding protein in a cell. Over 100 compds. were prepared, and most were assayed against selected PTPs. For example, etherification of Me 4-(2-hydroxyethoxy)benzoic acid Me ester with 2-nitro-4-(trifluoromethylsulfonyl)chlorobenzene using NaH, and hydrolysis with HCl in aqueous THF-EtOH, gave title compound IV. This compound had IC50 values as follows (μM): PTP 1B = 1.5, PTP MEG2 = 1.5, PTP α = 22.2.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	5.92	161.55

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-0.74	-0.74

FILE 'REGISTRY' ENTERED AT 09:24:17 ON 18 AUG 2004

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 17 AUG 2004 HIGHEST RN 727974-89-2
DICTIONARY FILE UPDATES: 17 AUG 2004 HIGHEST RN 727974-89-2

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Welcome to STN International! Enter x:x

LOGINID:ssspta1611sxp

PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * * Welcome to STN International * * * * * * * * * *

NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	May 12	EXTEND option available in structure searching
NEWS 4	May 12	Polymer links for the POLYLINK command completed in REGISTRY
NEWS 5	May 27	New UPM (Update Code Maximum) field for more efficient patent SDIs in CAplus
NEWS 6	May 27	CAplus super roles and document types searchable in REGISTRY
NEWS 7	Jun 28	Additional enzyme-catalyzed reactions added to CASREACT
NEWS 8	Jun 28	ANTE, AQUALINE, BIOENG, CIVILENG, ENVIROENG, MECHENG, and WATER from CSA now available on STN(R)
NEWS 9	Jul 12	BEILSTEIN enhanced with new display and select options, resulting in a closer connection to BABS
NEWS 10	Jul 30	BEILSTEIN on STN workshop to be held August 24 in conjunction with the 228th ACS National Meeting
NEWS 11	AUG 02	IFIPAT/IFIUDB/IFICDB reloaded with new search and display fields
NEWS 12	AUG 02	CAplus and CA patent records enhanced with European and Japan Patent Office Classifications
NEWS 13	AUG 02	STN User Update to be held August 22 in conjunction with the 228th ACS National Meeting
NEWS 14	AUG 02	The Analysis Edition of STN Express with Discover! (Version 7.01 for Windows) now available
NEWS 15	AUG 04	Pricing for the Save Answers for SciFinder Wizard within STN Express with Discover! will change September 1, 2004

NEWS EXPRESS	JULY 30 CURRENT WINDOWS VERSION IS V7.01, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

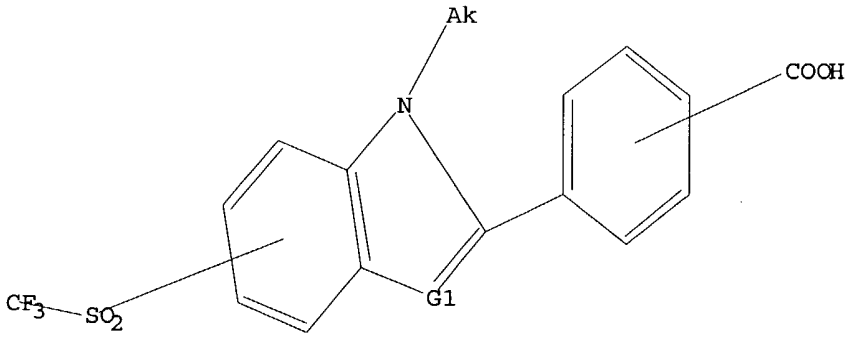
Enter NEWS followed by the item number or name to see news on that specific topic.

All use of STN is subject to the provisions of the STN Customer agreement. Please note that this agreement limits use to scientific research. Use for software development or design or implementation of commercial gateways or other similar uses is prohibited and may result in loss of user privileges and other penalties.

* * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 09:18:20 ON 18 AUG 2004

```
=> file reg
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                         0.21       0.21

FILE 'REGISTRY' ENTERED AT 09:18:34 ON 18 AUG 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2004 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES:   17 AUG 2004  HIGHEST RN 727974-89-2
DICTIONARY FILE UPDATES:  17 AUG 2004  HIGHEST RN 727974-89-2

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading c:\program files\stnexp\queries\10618083.1

L1      STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1                STR
```




```
G1 N,CH


Structure attributes must be viewed using STN Express query preparation.


=> s l1 sss full
FULL SEARCH INITIATED 09:18:58 FILE 'REGISTRY'
```

FULL SCREEN SEARCH COMPLETED - 8 TO ITERATE

100.0% PROCESSED 8 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.01

L2 1 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	155.42	155.63

FILE 'CAPLUS' ENTERED AT 09:19:05 ON 18 AUG 2004
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 18 Aug 2004 VOL 141 ISS 8
FILE LAST UPDATED: 17 Aug 2004 (20040817/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l2
L3 1 L2

=> d l3 fbib hitstr abs total

L3 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2001:167962 CAPLUS
DN 134:222529
TI Preparation of aromatic trifluoromethylsulfonyl and trifluoromethylsulfonamido compounds as phosphate mimics and phosphatase inhibitors and methods of treatment
IN Huang, Ping; Wei, Chung Chen; Tang, Peng Cho; Liang, Chris; Ramphal, John; Jallal, Bahija; Blitz, John; Li, Sharon; Mattson, Matthew Neil; Mcahon, Gerald; Koenig, Marcel
PA Sugen, Inc., USA; et al.
SO PCT Int. Appl., 262 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001016097	A1	20010308	WO 2000-US23293	20000825
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				

CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

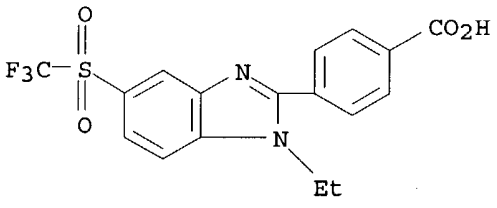
				US 1999-150970P	P 19990827
				US 1999-165365P	P 19991112
EP 1212296		A1	20020612	EP 2000-961360	20000825
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL					
				US 1999-150970P	P 19990827
				US 1999-165365P	P 19991112
				WO 2000-US23293	W 20000825
JP 2003508382		T2	20030304	JP 2001-519667	20000825
				US 1999-150970P	P 19990827
				US 1999-165365P	P 19991112
				WO 2000-US23293	W 20000825
US 6596772		B1	20030722	US 2000-645879	20000825
				US 1999-150970P	P 19990827
				US 1999-165365P	P 19991112
NZ 517426		A	20040430	NZ 2000-517426	20000825
				US 1999-150970P	P 19990827
				US 1999-165365P	P 19991112
				WO 2000-US23293	W 20000825
ZA 2002001609		A	20030526	ZA 2002-1609	20020226
				US 1999-150970P	P 19990827
US 2004138255		A1	20040715	US 2003-618083	20030714
				US 1999-150970P	P 19990827
				US 1999-165365P	P 19991112
				US 2000-645879	A3 20000825

OS MARPAT 134:222529

IT **329317-62-6P**, 4-(1-Ethyl-5-trifluoromethanesulfonyl-1H-benzimidazol-2-yl)benzoic acid
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of aromatic trifluoromethylsulfonyl and trifluoromethylsulfonamido compds. as phosphate mimics and phosphatase inhibitors)

RN 329317-62-6 CAPLUS

CN Benzoic acid, 4-[1-ethyl-5-[(trifluoromethyl)sulfonyl]-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to trifluoromethyl sulfonyl and trifluoromethyl sulfonamido compds. and their physiol. acceptable salts and prodrugs. In particular, compds. I, II, and III are claimed [wherein: Q = CF3SO2, CF3SO2NR3, CF3SO2R4, or CF3SO2N(R3)R4; R1 = H, alkyl, haloalkyl, cyano, CO2H or derivs., halo, OH or derivs., NH2 or derivs., etc.; R2 = H, groups similar to R1; R3 = H, (un)substituted alkoxy, acyl, or alkyl; R4 = (un)substituted CH2; n = 0-3; B = atoms to complete (un)substituted fused aryl, carbocyclyl, heteroaryl, or heterocyclyl ring; A1 = (un)substituted and/or heteroatom-replaced linkage of 2-8 atoms length; A2 = similar linkage of 0-6 atoms]. These compds. are expected to modulate the activity of protein tyrosine enzymes which are related to cellular signal transduction, in particular, protein tyrosine phosphatase (PTP), and therefore are expected to be useful in the prevention and treatment of disorders associated with abnormal protein tyrosine enzyme related cellular signal transduction such as cancer, diabetes, immuno-modulation, neurol. degenerative diseases, osteoporosis and infectious diseases. The invention also relates to the use of compds. containing fluoromethyl sulfonyl groups as phosphate mimics. These mimics may be used to inhibit, regulate or modulate the activity of a phosphate binding protein in a cell. Over 100 compds. were prepared, and most were assayed against selected PTPs. For example, etherification of Me 4-(2-hydroxyethoxy)benzoic acid Me ester with 2-nitro-4-(trifluoromethylsulfonyl)chlorobenzene using NaH, and hydrolysis with HCl in aqueous THF-EtOH, gave title compound IV. This compound had IC50 values as follows (μM): PTP 1B = 1.5, PTP MEG2 = 1.5, PTP α = 22.2.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	5.46	161.09
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-0.74	-0.74

STN INTERNATIONAL LOGOFF AT 09:19:56 ON 18 AUG 2004